Welcome to STN International! Enter x:X

LOGINID: SSPTABEM1624

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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* * * * * * * * * *
                     Welcome to STN International
                 Web Page for STN Seminar Schedule - N. America
NEWS
     2 NOV 21
NEWS
                 CAS patent coverage to include exemplified prophetic
                 substances identified in English-, French-, German-,
                 and Japanese-language basic patents from 2004-present
NEWS
         NOV 26 MARPAT enhanced with FSORT command
NEWS
         NOV 26
                 CHEMSAFE now available on STN Easy
NEWS 5
         NOV 26
                 Two new SET commands increase convenience of STN
                 searching
NEWS
         DEC 01
                 ChemPort single article sales feature unavailable
                 GBFULL now offers single source for full-text
NEWS
         DEC 12
                 coverage of complete UK patent families
NEWS
     8
         DEC 17
                 Fifty-one pharmaceutical ingredients added to PS
NEWS 9
         JAN 06
                 The retention policy for unread STNmail messages
                 will change in 2009 for STN-Columbus and STN-Tokyo
                 WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
NEWS 10
         JAN 07
                 Classification Data
                 Simultaneous left and right truncation (SLART) added
NEWS 11 FEB 02
                 for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 12 FEB 02 GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 13 FEB 06 Patent sequence location (PSL) data added to USGENE
NEWS 14 FEB 10 COMPENDEX reloaded and enhanced
NEWS 15 FEB 11 WTEXTILES reloaded and enhanced
NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
             AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.
NEWS HOURS
              STN Operating Hours Plus Help Desk Availability
NEWS LOGIN
              Welcome Banner and News Items
NEWS IPC8
              For general information regarding STN implementation of IPC 8
```

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 08:54:45 ON 18 FEB 2009

=> fil reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 0.22 0.22

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STRUCTURE FILE UPDATES: 17 FEB 2009 HIGHEST RN 1107694-62-1 DICTIONARY FILE UPDATES: 17 FEB 2009 HIGHEST RN 1107694-62-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

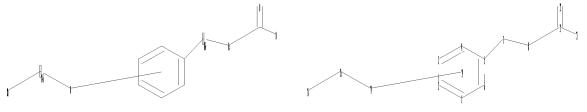
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Program Files\STNEXP\Queries\10577698.str



7 8 11 12 13 14 ring nodes : 1 2 3 4 5 chain bonds : 5-7 7-8 8-11 11-12 11-13 14-15 15-16 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 exact/norm bonds :

7-8 8-11 11-12 11-13 14-15

exact bonds : 5-7 15-16 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 isolated ring systems : containing 1 :

Match level :

chain nodes :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:Atom 19:Atom

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

Structure attributes must be viewed using STN Express query preparation.

5 ANSWERS

=> s 11

SAMPLE SEARCH INITIATED 08:55:30 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 342350 TO ITERATE

0.6% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**

BATCH **INCOMPLETE**

PROJECTED ITERATIONS: 6813064 TO 6880936 PROJECTED ANSWERS: 15362 TO 18872

L2 5 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 08:55:35 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 6842174 TO ITERATE

5.8%	PROCESSED	393593	ITERATIONS				207	ANSWERS
9.6%	PROCESSED	657228	ITERATIONS				451	ANSWERS
12.2%	PROCESSED	831393	ITERATIONS				522	ANSWERS
13.6%	PROCESSED	933903	ITERATIONS				619	ANSWERS
14.0%	PROCESSED	955643	ITERATIONS				619	ANSWERS
14.4%	PROCESSED	982795	ITERATIONS				621	ANSWERS
14.4%	PROCESSED	982795	ITERATIONS				621	ANSWERS
14.5%	PROCESSED	995082	ITERATIONS	(1	INCOMPLETE)	622	ANSWERS
14.5%	PROCESSED	995082	ITERATIONS	(1	INCOMPLETE)	622	ANSWERS
14.5%	PROCESSED	995082	ITERATIONS	(1	INCOMPLETE)	622	ANSWERS

14.5% PROCESSED	995082 ITERATIONS	(1 INCOMPLETE)	622 ANSWERS
14.5% PROCESSED	995082 ITERATIONS	(1 INCOMPLETE)	622 ANSWERS
14.5% PROCESSED	995082 ITERATIONS	(1 INCOMPLETE)	622 ANSWERS

---Logging off of STN---

14.5% PROCESSED 995082 ITERATIONS (1 INCOMPLETE) 622 ANSWERS END

Unable to generate the STN prompt. Exiting the script...

=> fil reg
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL
ENTRY SESSION
5.76 5.98

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STRUCTURE FILE UPDATES: 17 FEB 2009 HIGHEST RN 1107694-62-1 DICTIONARY FILE UPDATES: 17 FEB 2009 HIGHEST RN 1107694-62-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

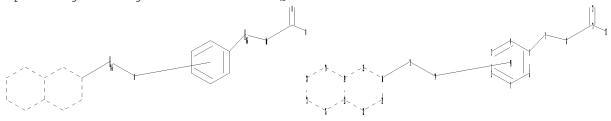
Please note that search-term pricing does apply when conducting ${\tt SmartSELECT}$ searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\STNEXP\Queries\10577698narrower.str



chain nodes :

7 8 11 12 13 14 15

ring nodes :

1 2 3 4 5 6 16 21 22 23 24 25 26 27 28 29

chain bonds :

5-7 7-8 8-11 11-12 11-13 14-15 15-16

ring bonds :

 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 16-21 \quad 16-25 \quad 21-22 \quad 22-23 \quad 23-24 \quad 23-26 \quad 24-25$

24-29 26-27 27-28 28-29

exact/norm bonds :

7-8 8-11 11-12 11-13 14-15 16-21 16-25 21-22 22-23 23-24 23-26 24-25

24-29 26-27 27-28 28-29

exact bonds : 5-7 15-16

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 : 16 :

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:Atom 19:Atom 21:Atom 22:Atom 23:Atom

24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom

L4 STRUCTURE UPLOADED

=> d 14

L4 HAS NO ANSWERS L4 STR

$$0 - 6$$
N

Structure attributes must be viewed using STN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 09:04:03 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 19822 TO ITERATE

10.1% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 388009 TO 404871

PROJECTED ANSWERS: 0 TO

0 SEA SSS SAM L4 L_5

=> s 14 sss full

FULL SEARCH INITIATED 09:04:08 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 398372 TO ITERATE

100.0% PROCESSED 398372 ITERATIONS

173 ANSWERS

SEARCH TIME: 00.00.07

173 SEA SSS FUL L4

=> d scan

173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L6

2-Propenoic acid, 3-[4-[[1-(2-naphthalenyl)ethyl]amino]-3-nitrophenyl]-ΤN

MF C21 H18 N2 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):40\ '40\' IS NOT VALID HERE

To display more answers, enter the number of answers you would like to see. To end the display, enter "NONE", "N", "0", or "END". HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):40

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

INDEX NAME NOT YET ASSIGNED ΙN

MF C21 H21 N O3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 REGISTRY COPYRIGHT 2009 ACS on STN 173 ANSWERS

IN Benzenepropanoic acid, α -methoxy- α -methyl-4-[[[6-[(methylsulfonyl)oxy]-2-naphthalenyl]methyl]amino]-, ethyl ester, $(\alpha S) -$

C25 H29 N O6 S MF

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Propenoic acid, 3-[4-[[(5,6,7,8-tetrahydro-2-naphthalenyl)carbonyl]amino]phenyl]-, ethyl ester
MF C22 H23 N O3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN L-Phenylalanine, N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-4-[(2-naphthalenylcarbonyl)amino]-, methyl ester

MF C34 H31 N5 O4

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzeneacetic acid, α , α -difluoro-4-[[(5,6,7,8-tetrahydro-

5,5,8,8-tetramethyl-2-naphthalenyl)carbonyl]amino]-, ethyl ester

MF C25 H29 F2 N O3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzenepentanoic acid, α -ethoxy-4-[[[6-[(methylsulfonyl)oxy]-2-naphthalenyl]methyl]amino]-

MF C25 H29 N O6 S

CI COM

$$\begin{array}{c|c} O & OEt \\ \parallel & \parallel \\ S-O & \parallel \\ O & CH_2-NH \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzenepropanoic acid, α -methoxy-4-[[[6-[(methylsulfonyl)oxy]-2-naphthalenyl]methyl]amino]-, ethyl ester, (α S)-

MF C24 H27 N O6 S

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzenebutanoic acid, 3-[[[4-(dimethylamino)-3-(trifluoromethyl)phenyl]sulfonyl](2-naphthalenylmethyl)amino]- γ -oxo- α -(phenylmethyl)-, methyl ester

MF C38 H35 F3 N2 O5 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzeneacetic acid, 2-[(1,3-difluoro-2-naphthalenyl)amino]-5-methyl-

MF C19 H15 F2 N O2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzeneacetic acid, 5-chloro-2-[(1-chloro-3-fluoro-2-naphthalenyl)amino]-MF C18 H12 C12 F N O2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzeneacetic acid, 5-chloro-2-[(1,3-dichloro-2-naphthalenyl)amino]-

MF C18 H12 Cl3 N O2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzeneacetic acid, 5-methyl-2-(2-naphthalenylamino)-

MF C19 H17 N O2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Propenoic acid, 3-[3-[[(8-methoxy-2,2-dimethyl-2H-1-benzopyran-7-yl)methyl](2-naphthalenylcarbonyl)amino]phenyl]-, methyl ester

MF C34 H31 N O5

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzenebutanoic acid, γ -(hydroxyimino)-4-[(2-

naphthalenylcarbonyl)amino]-

MF C21 H18 N2 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzenepropanoic acid, 4,4'-[[1,1'-biphenyl]-4,4'-diylbis(2-

naphthalenylimino)]bis-, dimethyl ester, polymer with 1,2-ethanediol (9CI)

MF (C52 H44 N2 O4 . C2 H6 O2)x

CI PMS

RELATED POLYMERS AVAILABLE WITH POLYLINK

CM 1

CM 2

 ${\rm HO-CH_2-CH_2-OH}$

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzeneacetic acid, 3-[(2-naphthalenylcarbonyl)amino]-

MF C19 H15 N O3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Phenylalanine, N-(aminoiminomethyl)-4-[[(2S)-2-[(aminoiminomethyl)amino]-3-

(2-naphthalenyl)-1-oxopropyl]amino]- (9CI)

MF C24 H27 N7 O3

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Propenoic acid, 3-[3-[[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)carbonyl]amino]phenyl]-

MF C24 H27 N O3

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzeneacetic acid, 4-[[(2S)-2-[[[trans-4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]cyclohexyl]carbonyl]amino]-3-(2-naphthalenyl)-1-oxopropyl]amino]-, phenylmethyl ester

MF C41 H47 N3 O6

Absolute stereochemistry. Rotation (+).

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzenebutanoic acid, 4-[[[6-(2-quinolinylmethoxy)-2naphthalenyl]carbonyl]amino]-, methyl ester

MF C32 H28 N2 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzenebutanoic acid, 4-[[1-oxo-2-[6-(2-quinolinylmethoxy)-2naphthalenyl]propyl]amino]-

MF C33 H30 N2 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzeneacetic acid, $4-[[2-(2-naphthalenyl)ethyl]amino]-\alpha-oxo-$

MF C20 H17 N O3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzenepropanoic acid, 5-[[(1-hydroxy-2-naphthalenyl)carbonyl]amino]-2-(methyltetradecylamino)-

MF C35 H48 N2 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Propenoic acid, 2-bromo-3-[2-[(methoxycarbonyl)(2-naphthalenylmethyl)amino]phenyl]-, ethyl ester, (2Z)-

MF C24 H22 Br N O4

Double bond geometry as shown.

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzeneacetic acid, 4-[[(3-ethoxy-2-naphthalenyl)carbonyl]amino]-

MF C21 H19 N O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Propenoic acid, 3-[4-[[(6-methoxy-2-naphthalenyl)carbonyl]amino]phenyl]-

MF C21 H17 N O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzenepropanoic acid, 4-[[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)carbonyl]amino]-

MF C24 H29 N O3

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzenepropanoic acid, 4-[(2-naphthalenylmethyl)amino]-

MF C20 H19 N O2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Propenoic acid, 3-[2-[(2-naphthalenylcarbonyl)amino]phenyl]-

MF C20 H15 N O3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN L-Arginine, mono $[\alpha-\text{ethoxy}-4-[[1,2,3,4-\text{tetrahydro}-6-$

[(methylsulfonyl)oxy]-2-naphthalenyl]methyl]amino]benzenepropanoate] (9CI)

MF C23 H29 N O6 S . C6 H14 N4 O2

CM 1

$$\begin{array}{c|c} \text{O} & \text{OEt} \\ \text{Me-S-O} & \text{CH}_2\text{-CH-CO}_2\text{H} \\ \text{O} & \text{CH}_2\text{-NH-} \end{array}$$

CM 2

Absolute stereochemistry.

$$H_2N$$
 NH
 NH
 NH
 NH
 NH
 NH
 NH

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzenepropanoic acid, α -methoxy-4-[[[6-[(methylsulfonyl)oxy]-2-naphthalenyl]methyl]amino]-, (α S)-

MF C22 H23 N O6 S

CI COM

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzenepropanoic acid, 4,4'-[2,6-naphthalenediylbis(phenylimino)]bis-, dimethyl ester, polymer with 1,2-ethanediol (9CI)

MF (C42 H38 N2 O4 . C2 H6 O2) \times

CI PMS

RELATED POLYMERS AVAILABLE WITH POLYLINK

CM 1

$$\begin{array}{c} \text{O} \\ \text{MeO-C-CH}_2\text{-CH}_2\text{-CH}_2 \\ \end{array}$$

CM 2

 $_{\rm HO}-_{\rm CH_2}-_{\rm CH_2}-_{\rm OH}$

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN Benzenebutanoic acid, 3-[(2-anthracenylsulfonyl)(2-naphthalenylmethyl)amino]- γ -oxo- α -(phenylmethyl)-MF C42 H33 N O5 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzeneacetic acid, 5-chloro-2-[(3-chloro-1-fluoro-2-naphthalenyl)amino]MF C18 H12 C12 F N O2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzeneacetic acid, 2-[(1-fluoro-2-naphthalenyl)amino]-5-methylMF C19 H16 F N O2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzeneacetic acid, 5-chloro-2-[(1-chloro-6-fluoro-2-naphthalenyl)amino]-MF C18 H12 C12 F N O2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzeneacetic acid, 5-chloro-2-[(1-chloro-2-naphthalenyl)amino]-

MF C18 H13 Cl2 N O2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Propenoic acid, 3-[3-[(cyclohexylcarbonyl)-2-naphthalenylamino]phenyl]-, methyl ester

MF C27 H27 N O3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Propenoic acid, 3-[3-[(2-naphthalenylcarbonyl)amino]phenyl]-

MF C20 H15 N O3

L6 173 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Propenoic acid, 3-[4-[(2-naphthalenylcarbonyl)amino]phenyl]-

MF C20 H15 N O3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil cap

SINCE FILE TOTAL ENTRY SESSION 187.80 193.78

COST IN U.S. DOLLARS
FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 09:05:00 ON 18 FEB 2009
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FILE COVERS 1907 - 18 Feb 2009 VOL 150 ISS 8 FILE LAST UPDATED: 17 Feb 2009 (20090217/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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```
=> d his
     (FILE 'HOME' ENTERED AT 08:54:45 ON 18 FEB 2009)
     FILE 'REGISTRY' ENTERED AT 08:55:08 ON 18 FEB 2009
L1
                STRUCTURE UPLOADED
L2
              5 S L1
L3
                QUE L1
     FILE 'REGISTRY' ENTERED AT 09:02:06 ON 18 FEB 2009
L4
                STRUCTURE UPLOADED
L5
              0 S L4
            173 S L4 SSS FULL
L6
     FILE 'CAPLUS' ENTERED AT 09:05:00 ON 18 FEB 2009
=> s 16
L7
            57 L6
=> s 16 and (pry<2004)
            57 L6
       4266863 PRY<2004
L8
            36 L6 AND (PRY<2004)
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             4 ABSES
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L9
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            36 L6 AND (PRY<2004)
=> d 1-36 ibib abs hitstr
L10 ANSWER 1 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:
                         2005:516308 CAPLUS
DOCUMENT NUMBER:
                         143:43695
TITLE:
                         Preparation of tetrahydronaphthalene hydroxamates and
                         benzamides as histone deacetylase (HDAC) inhibitors.
INVENTOR(S):
                         Leblond, Bertrand; Beausoleil, Eric
                         Exonhit Therapeutics S.A., Fr.
PATENT ASSIGNEE(S):
                         Eur. Pat. Appl., 50 pp.
SOURCE:
```

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
EP 1541549	A1 20050615	EP 2003-293143	20031212
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IE, SI, LT,	LV, FI, RO, MK,	CY, AL, TR, BG, CZ, EE,	HU, SK

CODEN: EPXXDW

Patent

English

DOCUMENT TYPE:

PATENT INFORMATION:

FAMILY ACC. NUM. COUNT: 1

LANGUAGE:

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WO 2005058803
                                20050630
                                            WO 2004-IB4334
                          A 1
                                                                    20041210 <--
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             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
                                20060823
     EP 1692097
                          Α1
                                            EP 2004-806498
                                                                    20041210 <--
           AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS
     US 20070129368
                                20070607
                                            US 2006-581947
                                                                    20060606 <--
                          Α1
PRIORITY APPLN. INFO.:
                                            EP 2003-293143
                                                                    20031212 <--
                                            WO 2004-IB4334
                                                                    20041210
                                                                 W
                         CASREACT 143:43695; MARPAT 143:43695
OTHER SOURCE(S):
GΙ
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AB Title compds. [I; R = CONR7R8, COCONR8R9, COCONHMe, COCF3, etc.; R7 = OH, OR9, 2-aminophenyl; R8, R9 = H, alkyl; X1 = C, O, N, S; R1, R2 = null, H, alkyl, 1-2 O; X2, X3 = CH, O, N; X2X3 = S, O, N; X4 = N, CH; R3-R5 = H, OH, NH2, halo, alkyl, perfluoroalkyl, etc.; L = alkylene, alkenylene, alkynylene, (aromatic) cycloalkyl, O, CO, CONH, CF2CONH, SO2NH, NMeSO2, etc.], were prepared Thus, 4-[2,2-difluoro-2-(5,5,8,8-tetramethyl-5,6,7,8-tetrahydronaphthalen-2-yl)acetylamino]benzoic acid (preparation given) was stirred with SOC12 and cat. DMF at 0° for 1 h. The residue in CH2C12 was added to a mixture prepared from hydroxylamine hydrochloride, H2O, and Et3N in THF at 0° followed by stirring at 0° for 10 min. and at room temperature for 17.75 h to give 33.4% 4-[2,2-difluoro-2-(1,2,3,4-tetrahydro-1,1,4,4-tetramethylnaphthalen-7-yl)acetamido]-N-hydroxybenzamide (EHT 9299). The latter showed HDAC inhibitory activity with IC50 = 424 nM.

IT 853728-69-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tetrahydronaphthalene hydroxamates and benzamides as histone deacetylase inhibitors)

RN 853728-69-5 CAPLUS

CN Benzeneacetic acid, α , α -difluoro-4-[[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)carbonyl]amino]-, ethyl ester (CF INDEX NAME)

REFERENCE COUNT: THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:395259 CAPLUS

DOCUMENT NUMBER: 142:446998

TITLE: Preparation of phenoxyalkanoates as PPAR- α and

PPAR- γ agonists and inhibitors of HMG CoA

reductase

INVENTOR(S): Debnath, Bhuniya; Gurram, Ranga Madhavan; Das Saibal,

Kumar; Javed, Iqbal; Ranjan, Chakrabarti; Labanyamoy,

Kole

PATENT ASSIGNEE(S): Reddy's Laboratories Ltd., India

SOURCE: PCT Int. Appl., 163 pp.

CODEN: PIXXD2

Patent DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.			KIND DATE			APPLICATION NO.						DATE						
		2005 2005				A2										2	0041	020	<
		W:	AE.	AG.	AT.	AM.	AT.	AU,	A7.	BA.	BB.	BG.	BR.	BW.	BY.	B7.	CA.	CH.	
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		RW:	BW,	GH,	GM,	KΕ,	LS,	MW,	MΖ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	
			AZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
			EE.	ES.	FI.	FR.	GB.	GR,	HU.	IE.	IT.	LU.	MC.	NL.	PL.	PT.	RO.	SE.	
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								RO,											
	US	2007	0093	476		A1		2007	0426		US 2	006-	5776	98		2	0060	428	<
PRIOR	ITI	APP	LN.	INFO	.:						IN 2	003-	CH86	2		A 2	0031	028	<
											WO 2	004-	IB34	29	,	W 2	0041	020	
OTHER GI	. SC	OURCE	(S):			CAS	REAC	T 14	2:44							_		•	

$$Ar$$
 Y $A-(CH2)m $R2$ $(CH2)n $-COOR3$$$

polycycle optionally containing up to 3 heteroatoms selected from N, S, or O; m and n independently = 0-6; A = bond, O, S; Y = (CH2)p, (CH2)pB(CH2)q, (CH2)xB(CH2)pD(CH2)q; p, q, and x independently = 0-6; B and D independently = S, O, bond, etc.; R1 and R2 independently = H, alkyl, alkoxy, etc.; R1 and R2 together may form 3-8 membered cyclic ring optionally containing 1-2 heteroatoms selected from O, S, or N; R3 = H, (un) substituted alkyl, cycloalkyl, etc.; with provision] and their pharmaceutically acceptable salts, are prepared and disclosed as useful $\text{PPAR-}\alpha$ and $\text{PPAR-}\gamma$ agonists and inhibitors of HMG CoA reductase. Thus, e.g., II was prepared by mesylating 6-hydroxy β -naphthoate followed by reduction of the Me ester and subsequent oxidation to the resp. aldehyde, which was then utilized in a reductive amination employing (S)-Et 2-methoxy-3-(4-aminophenyl)propionate (preparation given). The ability of I to lower triglyceride levels in swiss albino mice was evaluated and selected compds. of the invention revealed redns. in the range of 10-79%. I as agonists of PPAR- α and PPAR- γ and inhibitors of HMG CoA reductase should prove useful in the treatment of diabetes and dyslipidemia. Pharmaceutical composition comprising I is disclosed.

IT 851122-20-8P 851122-21-9P 851122-22-0P 851122-31-1P 851122-32-2P 851122-42-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of phenoxyalkanoates as PPAR- α and PPAR- γ agonists and inhibitors of HMG CoA reductase)

RN 851122-20-8 CAPLUS

CN Benzenepropanoic acid, α -methoxy-4-[[[6-[(methylsulfonyl)oxy]-2-naphthalenyl]methyl]amino]-, ethyl ester, (α S)- (CA INDEX NAME)

RN 851122-21-9 CAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[[[6-[(methylsulfonyl)oxy]-2-naphthalenyl]methyl]amino]-, ethyl ester (CA INDEX NAME)

RN 851122-22-0 CAPLUS

CN Benzenepentanoic acid, α -ethoxy-4-[[[6-[(methylsulfonyl)oxy]-2-naphthalenyl]methyl]amino]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} O & & \text{EtO} & O \\ \parallel & & \parallel & \parallel \\ \text{Me-S-O} & & & \text{(CH}_2)_3-\text{CH-C-OEt} \\ O & & & \text{CH}_2-\text{NH} \end{array}$$

RN 851122-31-1 CAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[[[1,2,3,4-tetrahydro-6-[(methylsulfonyl)oxy]-2-naphthalenyl]methyl]amino]-, ethyl ester (CA INDEX NAME)

RN 851122-32-2 CAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[[3-[1,2,3,4-tetrahydro-6-[(methylsulfonyl)oxy]-2-naphthalenyl]propyl]amino]-, ethyl ester (CA INDEX NAME)

RN 851122-42-4 CAPLUS

CN Benzenepropanoic acid, α -methoxy-4-[[[6-[(methylsulfonyl)oxy]-2-naphthalenyl]methyl]amino]-, (α S)- (CA INDEX NAME)

Absolute stereochemistry.

IT 851122-43-5P 851122-44-6P 851122-53-7P

851122-54-8P 851122-64-0P 851122-66-2P

851122-78-6P 851122-81-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenoxyalkanoates as PPAR- $\!\alpha$ and PPAR- $\!\gamma$ agonists and inhibitors of HMG CoA reductase)

RN 851122-43-5 CAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[[[6-[(methylsulfonyl)oxy]-2-naphthalenyl]methyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{O} & \text{OEt} \\ \parallel & \parallel \\ \text{Me} - \text{S} - \text{O} \\ \parallel & \parallel \\ \text{O} & \text{CH}_2 - \text{NH} \end{array}$$

RN 851122-44-6 CAPLUS

CN Benzenepentanoic acid, α -ethoxy-4-[[[6-[(methylsulfonyl)oxy]-2-naphthalenyl]methyl]amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{Me-S-O} \\ \text{O} \end{array}$$

RN 851122-53-7 CAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[[[1,2,3,4-tetrahydro-6-[(methylsulfonyl)oxy]-2-naphthalenyl]methyl]amino]- (CA INDEX NAME)

RN 851122-54-8 CAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[[3-[1,2,3,4-tetrahydro-6-[(methylsulfonyl)oxy]-2-naphthalenyl]propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} O & OEt \\ \parallel & \\ Ne-S-O \\ \parallel & \\ O \end{array}$$

RN 851122-64-0 CAPLUS

CN L-Arginine, mono[(α S)- α -methoxy-4-[[[6-[(methylsulfonyl)oxy]-2-naphthalenyl]methyl]amino]benzenepropanoate] (9CI) (CA INDEX NAME)

CM 1

CRN 851122-42-4 CMF C22 H23 N O6 S

Absolute stereochemistry.

CM 2

CRN 74-79-3

CMF C6 H14 N4 O2

Absolute stereochemistry.

RN 851122-66-2 CAPLUS

CN L-Arginine, α -ethoxy-4-[[[6-[(methylsulfonyl)oxy]-2-

naphthalenyl]methyl]amino]benzenepentanoate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 851122-44-6 CMF C25 H29 N O6 S

$$\begin{array}{c} \text{O} \\ \text{Me-S-O} \\ \text{O} \\ \text{CH}_2-\text{NH} \end{array}$$

CM 2

CRN 74-79-3 CMF C6 H14 N4 O2

Absolute stereochemistry.

$$H_2N$$
 NH
 $(CH_2)_3$
 S
 CO_2H
 NH_2

RN 851122-78-6 CAPLUS

CN L-Arginine, mono[α -ethoxy-4-[[[1,2,3,4-tetrahydro-6-[(methylsulfonyl)oxy]-2-naphthalenyl]methyl]amino]benzenepropanoate] (9CI) (CA INDEX NAME)

CM 1

CRN 851122-53-7 CMF C23 H29 N O6 S

$$\begin{array}{c|c} O & OEt \\ \parallel & CH_2-CH-CO_2H \\ \hline \\ O & CH_2-NH \end{array}$$

CM 2

CRN 74-79-3 CMF C6 H14 N4 O2

RN 851122-81-1 CAPLUS

CN L-Arginine, mono[α -ethoxy-4-[[3-[1,2,3,4-tetrahydro-6-[(methylsulfonyl)oxy]-2-naphthalenyl]propyl]amino]benzenepropanoate] (9CI) (CA INDEX NAME)

CM 1

CRN 851122-54-8 CMF C25 H33 N O6 S

$$\begin{array}{c|c} \text{O} & \text{OEt} \\ \parallel & \text{CH}_2\text{-CH-CO}_2\text{H} \\ \hline \text{O} & \text{(CH}_2\text{)}_3\text{-NH} \end{array}$$

CM 2

CRN 74-79-3 CMF C6 H14 N4 O2

Absolute stereochemistry.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:122836 CAPLUS

DOCUMENT NUMBER: 142:198983

TITLE: Diamine compound polymer having condensed aromatic

group and method for its preparation

INVENTOR(S): Seki, Mieko; Yoneyama, Hirohito; Okuda, Daisuke;

Hirose, Hidekazu; Ozaki, Tadayoshi; Agata, Takeshi; Ishii, Toru; Moriyama, Hiroaki; Mashimo, Kiyokazu;

Sato, Katsuhiro

PATENT ASSIGNEE(S): Fuji Xerox Co., Ltd., Japan SOURCE: U.S. Pat. Appl. Publ., 34 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
US 20050033011	A1	20050210	US 2004-783774		20040220 <	
US 7060783	В2	20060613				
JP 2005053958	A	20050303	JP 2003-205919		20030805	
PRIORITY APPLN. INFO.:			JP 2003-205919	A	20030805 <	
GT						

$$-(T)_{n}$$

$$-(T)_{n}$$

$$+(T)_{n}$$

$$+(T)_{n}$$

AΒ The d polymer has a condensed aromatic group represented by the following formulas H(OY)mO[C(O)AC(O)(YO)m]pH and B[C(0)AC(0)(Y0)mC(0)ZC(0)O(Y0)m]pC(0)AC(0)B' wherein A represents a structure represented by the following formula I; Y and Z represent hydrocarbylene groups; B and B' each independently represents a group represented by -O(YO)mH or -O(YO)mCOZCOOR', wherein R' is a H atom, an aralkyl group, an aryl group, or an aralkyl group; m represents an integer from 1 to 5; and p represents an integer from 5 to 5000; Ar represents a monovalent aromatic group; X represents a divalent condensed aromatic group; T represents a C1-6 linear hydrocarbylene group or a C2-10 branched hydrocarbylene group; k and n each represents an integer of 0 or 1. The polymer is useful for charge transport materials. Thus, heating a mixture of N-phenyl-N-[4-(2-methoxycarbonylethyl)phenyl]amine 10.0, 1,4-dibromonaphthalene 5.1, K carbonate 6.2 and Cu sulfate pentahydrate 0.5 g in 20 mL n-tridecane at 230 $^{\circ}$ for 33 h gave N, N'-diphenyl-N, N'-bis[4-(2-methoxycarbonylethyl)phenyl]naphthyl-1,4diamine (II) having m.p. 139-141°. Polymerizing II 1.0 with ethylene glycol 3.0 in the presence of tetrabutoxytitanium 0.04 g at 200° for 3 h and heating at 230° for 4 h while removing excess ethylene glycol at 0.5 mm-Hg gave a polymer.

IT 838896-36-9P 838896-37-0P

RL: IMF (Industrial manufacture); PRP (Properties); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)

(manufacture and use of diamine compound polymer having condensed aromatic group)

RN 838896-36-9 CAPLUS

CN Benzenepropanoic acid, 4,4'-[2,6-naphthalenediylbis(phenylimino)]bis-, dimethyl ester, polymer with 1,2-ethanediol (9CI) (CA INDEX NAME)

CM 1

CRN 838896-29-0 CMF C42 H38 N2 O4

$$\begin{array}{c} \text{O} \\ \text{MeO-C-CH}_2\text{-CH}_2 \\ \end{array} \begin{array}{c} \text{Ph} \\ \text{N} \end{array} \begin{array}{c} \text{CH}_2\text{-CH}_2\text{-C-OMe} \\ \text{N} \end{array}$$

CM 2

CRN 107-21-1 CMF C2 H6 O2

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RN 838896-37-0 CAPLUS

CN Poly[oxy-1,2-ethanediyloxy(1-oxo-1,3-propanediyl)-1,4-phenylene(phenylimino)-2,6-naphthalenediyl(phenylimino)-1,4-phenylene(3-oxo-1,3-propanediyl)] (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

IT 838896-29-0P

RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(manufacture and use of diamine compound polymer having condensed aromatic group)

RN 838896-29-0 CAPLUS

CN Benzenepropanoic acid, 4,4'-[2,6-naphthalenediylbis(phenylimino)]bis-, dimethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{MeO-C-CH}_2\text{-CH}_2\text{-C-OMe} \\ \end{array}$$

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:902361 CAPLUS

DOCUMENT NUMBER: 141:395802

TITLE: Preparation of substituted phenylalkanoic acids,

including amino acid derivatives

INVENTOR(S): Van Zandt, Michael C.; Fang, Haiquan; Hu, Shaojing;

Whitehouse, Darren

PATENT ASSIGNEE(S): The Institutes for Pharmaceutical Discovery, LLC, USA

SOURCE: PCT Int. Appl., 131 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.							DATE APPLICATION NO.											
WO	2004092146						WO 2004-US11650											
WO																		
	W:				,	,		,			BG,					•		
			,	,	•	,	,	•	,	•	EC,	,		,	•	,	•	
											JP,							
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	${ m MZ}$,	NΑ,	NΙ,	
											SC,						,	
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:										SZ,						,	
		BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
		ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	
		SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	
		TD,	ΤG															
ΑU	2004	2311	06		A1	A1 20041028				AU 2	004 -	2311	06		2	0040	414	<
CA	2522	080			A1		2004	1028	(CA 2	004 -	2522	080		2	0040	414	<
US	2004	0248	937		A1		20041209			US 2004-824057					20040414 <			
EΡ	1633	354			A2		2006	0315	EP 2004-750170						20040414 <			
ΕP	1633	354			В1		2008	0123										
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR
BR	2004	0094	47		Α		2006	0418		BR 2	004-	9447			2	0040	414	<
CN	17949	989			Α		2006	0628	(CN 2	004 -	8001	4576		2	0040	414	<
JΡ	2006.	524248 T 2006			2006	1026	JP 2006-510073						2	0040	414	<		
		384526 T 200			2008	0215	AT 2004-750170 2004041					414	<					
NO	2005	0047	69		Α		2006	0103]	NO 2005-4769					2	0051	017	<
														20051024 <				
	APP:										003-							
											004-							

OTHER SOURCE(S): MARPAT 141:395802

GΙ

The invention relates to compds. I [n is 0-3; R1 is H, alkyl, phenylalkyl AΒ or alkenyl; R2 is Ph, phenylalkyl, alkyl, carbamoylalkyl, alkylsulfonylalkyl, heterocycloalkyl, etc.; R3 is H or CO2R1; R20-R23 are independently H, arylalkoxy, arylalkyl, halo, alkyl, OH, alkoxy, NO2, NH2, alkylamino, etc.; L is SO2NH, sulfonyl(alkylimino), NHSO2, O, CONH, carbonyl(alkylimino), SO2, carbonylalkylene, alkylenecarbonyl, NH or alkylimino (the alkyl group are optionally substituted with Ph or substituted phenyl); L2 is a bond, CONR9, NR9CO, alkylene-CONR9, NR9, etc. (R9 is H or alkyl optionally substituted with CO2H, arylsulfonyl or arylalkyl); ring A is (un)substituted Ph, naphthyl, thiazolyl, pyrazolyl, furanyl, dihydropyrazolyl, benzofuranyl, dibenzofuranyl, pyrimidyl, pyridyl, quinolinyl, naphthyl, quinazolinyl, benzo[b]thiophene, imidazolyl, isothiazolyl, pyrrolyl, oxazolyl or triazolyl; Q is H, aryl, arylcarbonylaryl, alkyl, halo, etc.; L3 is a bond, alkyleneoxy, oxyalkylene, alkylene, alkenylene or CO; Z is absent, H, aroylamino, (un) substituted Ph or cycloalkylcycloalkanoyl(alkyl)amino] and their pharmaceutically-acceptable salts, which are useful in the treatment of metabolic disorders related to insulin resistance or hyperglycemia. These compds. include inhibitors of protein tyrosine phosphatase (PTP-1B) that are useful in the treatment of diabetes and other PTP-1B mediated diseases such as cancer and neurodegenerative diseases. Thus, 2-[4-[4-(4-chlorophenyl)-5-(4-ethylphenyl)thiazol-2ylcarbamoyl]benzenesulfonylamino]-3-phenylpropionic acid was prepared by cyclocondensation of 4-ClC6H4COCH2C6H4Et-4 (preparation given) with thiourea, acylation with 4-ClSO2C6H4CO2H, and coupling with phenylalanine tert-Bu ester hydrochloride. The product was shown to increase the glucose infusion rate in rats at 30 mg/kg.

Ι

TT 782484-12-2P 782484-37-1P 782484-38-2P 782484-39-3P 782484-40-6P 782484-42-8P 782484-60-0P 782484-67-7P 782484-68-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

RN 782484-12-2 CAPLUS

CN Benzenebutanoic acid, 3-[(2-naphthalenylmethyl)[[4-(trifluoromethoxy)phenyl]sulfonyl]amino]- γ -oxo- α -(phenylmethyl)- (CA INDEX NAME)

RN 782484-37-1 CAPLUS

CN Benzenebutanoic acid, 3-[(2-naphthalenylmethyl)(2-naphthalenylsulfonyl)amino]- γ -oxo- α -(phenylmethyl)-, methyl ester (CA INDEX NAME)

RN 782484-38-2 CAPLUS

CN Benzenebutanoic acid, 3-[(2-naphthalenylmethyl)(2-naphthalenylsulfonyl)amino]- γ -oxo- α -(phenylmethyl)- (CA INDEX NAME)

RN 782484-39-3 CAPLUS

CN Benzenebutanoic acid, 3-[(2-anthracenylsulfonyl)(2-naphthalenylmethyl)amino]- γ -oxo- α -(phenylmethyl)- (CA INDEX NAME)

RN 782484-40-6 CAPLUS

CN Benzenebutanoic acid, 3-[[[4-(dimethylamino)-3-fluorophenyl]sulfonyl](2-naphthalenylmethyl)amino]- γ -oxo- α -(phenylmethyl)-, methyl ester (CA INDEX NAME)

RN 782484-42-8 CAPLUS

CN Benzenebutanoic acid, 3-[[[4-(dimethylamino)-3- (trifluoromethyl)phenyl]sulfonyl](2-naphthalenylmethyl)amino]- γ -oxo- α -(phenylmethyl)-, methyl ester (CA INDEX NAME)

RN 782484-60-0 CAPLUS

CN Benzenebutanoic acid, 3-[[(3,4-dichlorophenyl)sulfonyl](2-naphthalenylmethyl)amino]- γ -oxo- α -(phenylmethyl)-, methyl ester (CA INDEX NAME)

RN 782484-67-7 CAPLUS

CN Benzenebutanoic acid, 3-[[[4-methoxy-3-(trifluoromethyl)phenyl]sulfonyl](2-naphthalenylmethyl)amino]- γ -oxo- α -(phenylmethyl)- (CA INDEX NAME)

RN 782484-68-8 CAPLUS

CN Benzenebutanoic acid, 3-[[(3,4-difluorophenyl)sulfonyl](2-naphthalenylmethyl)amino]- γ -oxo- α -(phenylmethyl)-, methyl ester (CA INDEX NAME)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:467845 CAPLUS

DOCUMENT NUMBER: 141:38434

TITLE: Preparation of substituted amino phenylacetic acids

and derivatives and their use as cyclooxygenase-2

(COX-2) inhibitors

INVENTOR(S): Fujimoto, Roger Aki; McQuire, Leslie Wighton;

Monovich, Lauren G.; Mugrage, Benjamin Biro; Parker,

David Thomas; Van Duzer, John Henry; Wattanasin,

Sompong

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SOURCE: PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004048314	A1	20040610	WO 2003-EP13246	20031125 <
W: AE, AG, A	, AM, AT,	AU, AZ, BA,	BB, BG, BR, BY,	BZ, CA, CH, CN,
CO, CR, C	J, CZ, DE,	DK, DM, DZ,	EC, EE, EG, ES,	FI, GB, GD, GE,
GH, HR, H	J, ID, IL,	IN, IS, JP,	KE, KG, KP, KR,	KZ, LC, LK, LT,

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LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
             RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN,
             YU, ZA, ZW
         RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE,
             DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,
             SI, SK, TR
     CA 2507458
                          Α1
                                 20040610
                                             CA 2003-2507458
                                                                     20031125 <--
     AU 2003292112
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     US 20040132769
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     EP 1567477
                                 20050831
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             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     BR 2003016615
                          Α
                                 20051011
                                             BR 2003-16615
                                                                     20031125 <--
     CN 1729157
                                 20060201
                                             CN 2003-80107251
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                          Α
     JP 2006507336
                          Τ
                                             JP 2004-554464
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                                 20060302
                                             US 2002-429222P
PRIORITY APPLN. INFO.:
                                                                    20021126 <--
                                                                  Ρ
                                                                  W 20031125 <--
                                             WO 2003-EP13246
                        MARPAT 141:38434
OTHER SOURCE(S):
GT
               OH
            \cap
            R^1
       Η
                   Ι
AΒ
     The title compds. I (R = H, alkyl, cycloalkyl, halo, alkoxy, F3CO, Me3C,
     cyano, R1 = biaryl, \beta-naphthyl derivative, bicyclic heterocyclic aryl,
     cycloalkyl monocyclic carbocyclic aryl, cycloalkane fused-monocyclic
     carbocyclic aryl) were prepared Thus,
     N, N-dimethyl-2-(2',3',5',6'-tetrafluoro-4'-phenylanilino)phenylacetamide
     was hydrolyzed to give I (R = H, R1 = 4-PhC6F4).
ΙT
     702641-15-4P 702641-16-5P 702641-17-6P
     702641-18-7P 702641-25-6P 702641-26-7P
```

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

Benzeneacetic acid, 2-[(1-chloro-2-naphthalenyl)amino]- (CA INDEX NAME)

pain, dysmenorrhea, neoplasms, and inflammation)

(preparation of (aminophenyl)acetic acid derivs. and their cyclooxygenase-2 inhibitory activity for treating rheumatoid arthritis, osteoarthritis,

702641-46-1P 702641-47-2P 702641-57-4P 702642-75-9P 702642-76-0P 702642-78-2P 702642-80-6P 702642-82-8P 702642-84-0P 702642-86-2P 702642-88-4P 702642-90-8P 702642-93-1P 702642-95-3P 702643-07-0P 702643-09-2P 702643-45-6P 702643-46-7P

702643-47-8P

702641-15-4 CAPLUS

(Uses)

RN

CN

RN 702641-16-5 CAPLUS

CN Benzeneacetic acid, 2-[(1-chloro-2-naphthalenyl)amino]-5-methyl- (CA INDEX NAME)

RN 702641-17-6 CAPLUS

CN Benzeneacetic acid, 5-chloro-2-(2-naphthalenylamino)- (CA INDEX NAME)

RN 702641-18-7 CAPLUS

CN Benzeneacetic acid, 5-chloro-2-[(1-chloro-2-naphthalenyl)amino]- (CA INDEX NAME)

RN 702641-25-6 CAPLUS

CN Benzeneacetic acid, 2-(2-naphthalenylamino)- (CA INDEX NAME)

RN 702641-26-7 CAPLUS

CN Benzeneacetic acid, 5-methyl-2-(2-naphthalenylamino)- (CA INDEX NAME)

RN 702641-46-1 CAPLUS

CN Benzeneacetic acid, 5-chloro-2-[(3-chloro-2-naphthalenyl)amino]- (CA INDEX NAME)

RN 702641-47-2 CAPLUS

CN Benzeneacetic acid, 2-[(3-chloro-2-naphthalenyl)amino]-5-methyl- (CA INDEX NAME)

RN 702641-57-4 CAPLUS

CN Benzeneacetic acid, 2-[(3-chloro-2-naphthalenyl)amino]- (CA INDEX NAME)

RN 702642-75-9 CAPLUS

CN Benzeneacetic acid, 2-[(1-chloro-2-naphthalenyl)amino]-5-ethyl- (CA INDEX NAME)

RN 702642-76-0 CAPLUS

CN Benzeneacetic acid, 5-chloro-2-[(1-chloro-6-fluoro-2-naphthalenyl)amino]-

(CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{NH} \\ \text{HO}_2\text{C}-\text{CH}_2 \end{array}$$

RN 702642-78-2 CAPLUS

CN Benzeneacetic acid, 5-chloro-2-[(1,6-dichloro-2-naphthalenyl)amino]- (CA INDEX NAME)

RN 702642-80-6 CAPLUS

CN Benzeneacetic acid, 5-chloro-2-[(1,3-dichloro-2-naphthalenyl)amino]- (CA INDEX NAME)

RN 702642-82-8 CAPLUS

CN Benzeneacetic acid, 2-[(1-chloro-2-naphthalenyl)amino]-5-cyclopropyl- (CA INDEX NAME)

RN 702642-84-0 CAPLUS

CN Benzeneacetic acid, 2-[(1-chloro-6-fluoro-2-naphthalenyl)amino]-5-methyl-(CA INDEX NAME)

$$\begin{array}{c} \text{C1} & \text{Me} \\ \text{NH} & \text{HO}_2\text{C}-\text{CH}_2 \end{array}$$

RN 702642-86-2 CAPLUS

CN Benzeneacetic acid, 2-[(1-chloro-6-fluoro-2-naphthalenyl)amino]-5-ethyl-(CA INDEX NAME)

RN 702642-88-4 CAPLUS

CN Benzeneacetic acid, 5-chloro-2-[(1-fluoro-2-naphthalenyl)amino]- (CA INDEX NAME)

RN 702642-90-8 CAPLUS

CN Benzeneacetic acid, 2-[(1-fluoro-2-naphthalenyl)amino]-5-methyl- (CA INDEX NAME)

RN 702642-93-1 CAPLUS

CN Benzeneacetic acid, 2-[(1-chloro-6-fluoro-2-naphthalenyl)amino]-5-cyclopropyl- (CA INDEX NAME)

RN 702642-95-3 CAPLUS

CN Benzeneacetic acid, 5-chloro-2-[(1-chloro-3-fluoro-2-naphthalenyl)amino]- (CA INDEX NAME)

RN 702643-07-0 CAPLUS

CN Benzeneacetic acid, 5-chloro-2-[(1-chloro-7-fluoro-2-naphthalenyl)amino]- (CA INDEX NAME)

RN 702643-09-2 CAPLUS

CN Benzeneacetic acid, 5-chloro-2-[[1-chloro-7-(trifluoromethyl)-2-naphthalenyl]amino]- (CA INDEX NAME)

RN 702643-38-7 CAPLUS

CN Benzeneacetic acid, 2-[(3-chloro-1-fluoro-2-naphthalenyl)amino]-5-methyl-(CA INDEX NAME)

RN 702643-40-1 CAPLUS

CN Benzeneacetic acid, 2-[(3-chloro-2-naphthalenyl)amino]-5-ethyl- (CA INDEX NAME)

RN 702643-43-4 CAPLUS

CN Benzeneacetic acid, 5-chloro-2-[(3-chloro-1-fluoro-2-naphthalenyl)amino]- (CA INDEX NAME)

RN 702643-45-6 CAPLUS

CN Benzeneacetic acid, 2-[(1-chloro-3-fluoro-2-naphthalenyl)amino]-5-methyl-(CA INDEX NAME)

RN 702643-46-7 CAPLUS

CN Benzeneacetic acid, 2-[(1,3-difluoro-2-naphthalenyl)amino]-5-methyl- (CA INDEX NAME)

RN 702643-47-8 CAPLUS

CN Benzeneacetic acid, 5-chloro-2-[(1,3-difluoro-2-naphthalenyl)amino]- (CA INDEX NAME)

7

L10 ANSWER 6 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:453231 CAPLUS

DOCUMENT NUMBER: 141:23422

TITLE: Preparation of non-steroidal FXR agonists

INVENTOR(S): Nicolaou, Kyriacos C.; Roecker, Anthony J.; Hughes,

Robert; Pfefferkorn, Jeffrey A.

PATENT ASSIGNEE(S): The Scripps Research Institute, USA

SOURCE: PCT Int. Appl., 75 pp.

DOCUMENT TYPE: CODEN: PIXXD2 Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	TENT				KIN	D	DATE			APPL	ICAT	ION	. O <i>V</i>			ATE	
	2004		62		A2 A3		2004 2004		,	WO 2	003-	JS36	195			0031	114 <
WO	2004 W:						AU,		RΔ	BB	BG	BB	RY	B7.	$C\Delta$	СН	CM
	VV •	•	•	•	•	•	DK,	•	•	•	•	•	•	•	•	•	•
				,			IL,					,		,			•
		LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NΙ,	NO,	NZ,
		OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ΤJ,	TM,
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
	RW:	GH,	GM,	KE,	LS,	MW,	${ m MZ}$,	SD,	SL,	SZ,	${\sf TZ}$,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,
		KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	ΝL,	PT,	RO,	SE,	SI,	SK,	TR,
							CM,								•		
AU	2003	2907	96		A1		2004	0615									114 <
PRIORIT	Y APP	LN.	INFO	.:								-					114 <
											003-						729 <
									,	WO 2	003-1	JS36	195	١	W 2	0031	114 <

OTHER SOURCE(S): MARPAT 141:23422

GΙ

$$L^2$$
 L^2
 L^1
 L^2
 L^2

AB Non-steroidal N-aryl-N-arylmethyl amido and ureido compds. such as I [E1 = (C1-C8)alkyl, cyclohexyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, Ph, NH(C1-C8)alkyl; L1, L2 = H; dashed bond = single bond or double bond; X1 = CO, CH2; Y1 = H, NHZ1, NH(Z2)Z3, OZ4; A1 = aryl, heterocyclyl etc.; Z1 = H, Ph, alkyl, benzyl, benzoyl; Z2, Z3 = alkyl; Z2Z3 = cycloalkyl; Z4 = H, oxygen protecting group], were prepared for their therapeutic use as farnesoid X receptor (FXR) agonists. Thus, biaryl compound II, prepared via solid phase synthesis starting from N-(tert-butoxycarbonyl)-3-aminocinnamic acid, Merrifield Resin, 4-bromobenzaldehyde, cyclohexanoyl chloride, and 3,4-difluorobenzeneboronic acid, showed FXR activity (EC50 = 72 nM) and relative efficacy = 1.70 at 1-100 mM CDCA from a cell-based assay. The

FXR agonists are useful as therapeutic agents for the treatment of diseases linked to cholesterol, bile acids, and their metabolism and homeostasis.

IT 698357-50-5P 698359-36-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-aryl-N-arylmethyl amido and ureido compds. as farnesoid X receptor agonists)

RN 698357-50-5 CAPLUS

CN 2-Propenoic acid, 3-[3-[[(8-methoxy-2,2-dimethyl-2H-1-benzopyran-7-yl)methyl](2-naphthalenylcarbonyl)amino]phenyl]-, methyl ester (CA INDEX NAME)

RN 698359-36-3 CAPLUS

CN 2-Propenoic acid, 3-[3-[(cyclohexylcarbonyl)(2-naphthalenylmethyl)amino]phenyl]-, methyl ester (CA INDEX NAME)

L10 ANSWER 7 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:453152 CAPLUS

DOCUMENT NUMBER: 141:17647

TITLE: N-acyl-N-arylmethylaniline acrylates as nonsteroidal

farnesoid X receptor modulators

INVENTOR(S): Downes, Michael R.; Evans, Ronald M.

PATENT ASSIGNEE(S): The Salk Institute for Biological Studies, USA

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046068	A2	20040603	WO 2003-US36137	20031114 <

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WO 2004046068
                          А3
                                20041229
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,
             NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
             TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 20050143449
                                20050630
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     US 20060128764
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                                20060615
                                             US 2005-535043
                                                                    20051209 <--
PRIORITY APPLN. INFO.:
                                             US 2002-426664P
                                                                 Ρ
                                                                   20021115 <--
                                             US 2003-658115
                                                                 A2 20030908 <--
                                             WO 2003-US36137
                                                                   20031114 <--
                                                                 W
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OTHER SOURCE(S): MARPAT 141:17647

$$R^2$$
 R^3
 R^4
 R^5
 R^5

AB A method for modulating process(es) mediated by farnesyl X receptor polypeptides comprises conducting said process(es) in the presence of title compds. [I; A = (substituted) alkyl, cycloalkyl, aryl, heteroaryl; X = CO, CH2; R = Me, Et; R1 = H, OH, alkoxy, PhCO2, mesityloxy, OCH2CO2Et; R2 = H; R3 = alkenyl, (substituted) aryl, heteroaryl, aralkenyl, heteroaralkenyl; R2R3 = atoms to form a (substituted) (unsatd.) pyran ring; R4 = H, OH; R5 = H, OH, alkoxy, aryloxy]. In a cell-based transcription assay, title compound (II) activated FXR with EC50 = 36 nM.

IT 1055899-02-9
RL: PRPH (Prophetic)
 (N-acyl-N-arylmethylaniline acrylates as nonsteroidal farnesoid X receptor modulators)

CO₂Me

II

RN 1055899-02-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.

IT 592524-92-0P 698357-50-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-acyl-N-arylmethylaniline acrylates as nonsteroidal farnesoid X receptor modulators)

RN 592524-92-0 CAPLUS

CN 2-Propenoic acid, 3-[3-[(cyclohexylcarbonyl)-2-naphthalenylamino]phenyl]-, methyl ester (CA INDEX NAME)

RN 698357-50-5 CAPLUS

CN 2-Propenoic acid, 3-[3-[[(8-methoxy-2,2-dimethyl-2H-1-benzopyran-7-yl)methyl](2-naphthalenylcarbonyl)amino]phenyl]-, methyl ester (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:452954 CAPLUS

DOCUMENT NUMBER: 141:17646

TITLE: N-acyl-N-benzylaniline acrylates as nonsteroidal

farnesoid X receptor (FXR) modulators

INVENTOR(S): Downes, Michael R.; Evans, Ronald Mark; Hughes,

Robert; Nicolaou, Kyriacos C.; Roecker, Anthony J.

PATENT ASSIGNEE(S): The Salk Institute for Biological Studies, USA; The

Scripps Research Institute

SOURCE: PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA.	TENT :	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.			ATE	
	2004 2004						2004		;	WO 2	003-	US36	123				114 <
WO	W:	AE, CN, GE, LK, NZ, TM, BW,	AG, CO, GH, LR, OM, TN, GH,	AL, CR, GM, LS, PG, TR, GM,	AM, CU, HR, LT, PH, TT, KE,	AT, CZ, HU, LU, PL, TZ, LS,	AU, DE, ID, LV, PT, UA, MW,	AZ, DK, IL, MA, RO, UG, MZ,	DM, IN, MD, RU, US, SD,	DZ, IS, MG, SC, UZ, SL,	EC, JP, MK, SD, VC, SZ,	EE, KE, MN, SE, VN, TZ,	EG, KG, MW, SG, YU, UG,	ES, KP, MX, SK, ZA, ZM,	FI, KR, MZ, SL, ZM, ZW,	GB, KZ, NI, SY, ZW AM,	GD, LC, NO, TJ,
AU	2005 2003 2006 Y APP	ES, TR, 0143 2907 0223	FI, BF, 449 78	FR, BJ,	GB, CF, A1	GR, CG,	2005	IE, CM, 0630 0615	IT, GA,	LU, GN, US 2 AU 2 US 2	MC, GQ, 003- 003- 005- 002- 003-	NL, GW, 6581 2907 5350 4266	PT, ML, 15 78 41 64P	RO, MR,	SE, NE, 2 2 2 2 P 2 A2 2	SI, SN, 00309 00319 00519 00219	

OTHER SOURCE(S): MARPAT 141:17646

GΙ

$$R^2$$
 R^3
 R^4
 R^5
 R^6
 R^6

AB Title compds. [I; A = (substituted) alkyl, cycloalkyl, aryl, heteroaryl; X = CO, CH2; R = Me, Et; R1 = H, OH, alkoxy, PhCO2, mesityloxy, OCH2CO2Et;

R2 = H; R3 = alkenyl, (substituted) aryl, heteroaryl, aralkenyl, heteroaralkenyl; R2R3 = atoms to form a substituted (unsatd.) pyran ring; R4 = H, OH; R5 = H, OH, alkoxy, aryloxy], are claimed. Thus, benzopyran derivative (II) activated FXR receptors with EC50 = 358 nM.

IT 592524-92-0P 698357-50-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of acylbenzylaniline acrylates as nonsteroidal farnesoid X receptor (FXR) modulators)

RN 592524-92-0 CAPLUS

CN 2-Propenoic acid, 3-[3-[(cyclohexylcarbonyl)-2-naphthalenylamino]phenyl]-, methyl ester (CA INDEX NAME)

RN 698357-50-5 CAPLUS

CN 2-Propenoic acid, 3-[3-[[(8-methoxy-2,2-dimethyl-2H-1-benzopyran-7-yl)methyl](2-naphthalenylcarbonyl)amino]phenyl]-, methyl ester (CA INDEX NAME)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:255113 CAPLUS

DOCUMENT NUMBER: 138:271392

TITLE: Benzenebutyric acids and their derivatives as

inhibitors of matrix metalloproteinases

INVENTOR(S): Purchase, Claude Forsey, Jr.; Roth, Bruce David;

White, Andrew David

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: U.S., 38 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6541521	B1	20030401	US 1999-351549	19990712
US 20020161050	A1	20021031	US 2001-23288	20011217 <
US 6624196	В2	20030923		
PRIORITY APPLN. INFO.:			US 1999-351549	A3 19990712 <
OTHER SOURCE(S):	MARPAT	138:271392		
GI				

I

AB Title compds. I and their isomers and pharmaceutically acceptable salts are disclosed [wherein: R1 = H, (cyclo)alkyl, (hetero)aryl, (hetero)arylalkyl, heterocyclyl(alkyl); X = (un)substituted COCH2, CONH, NHCO, COO, OCO, CO, CH(OH), C(:NH)NH, OCOO, OCONH, NHCOO, NHCONH, C(:S)NH, NHC(:S), C(:S)O, OC(:S), OC(:S)O, OC(:S)NH, NHC(:S)O, NHC(:S)NH; m = 0-4; Z = CO, (un)substituted C(:NOH) or CH(OH), CHF, CF2; R2, R2a, R3, R3a = (independently) H, F, R5, (un)substituted -alkyl-R5, (un)substituted -NHCO-alkyl or -NH-alkyl; R4 = SH, OH, alkoxy, aralkoxy, cycloalkoxy, etc.; R5 = H, (hetero)aryl, heterocyclyl, phthalimido, 2,3-naphthylimido, indol-3-yl, imidazol-4-yl, 2-, 3-, or 4-pyridyl, 2,4-dioxo-1,5,5-trimethylimidazolidin-3-yl,or an (un)natural amino acid sidechain]. Novel compds. and derivs. are described, as well as methods for their preparation, and pharmaceutical compns. containing them. Compds. I

useful as inhibitors of matrix metalloproteinases (MMPs), particularly gelatinase A (MMP-2), collagenase-3 (MMP-13), and stromelysin-1 (MMP-3). I are thereby useful for the treatment of multiple sclerosis, atherosclerotic plaque rupture, aortic aneurysm, heart failure, left ventricular dilation, restenosis, periodontal disease, corneal ulceration, treatment of burns, decubital ulcers, wound healing, cancer, inflammation, pain, arthritis, osteoporosis, renal disease, or other autoimmune or inflammatory disorders dependent upon tissue invasion by leukocytes or other activated migrating cells, acute and chronic neurodegenerative disorders including stroke, head trauma, spinal cord injury, Alzheimer's disease, amyotrophic lateral sclerosis, cerebral amyloid angiopathy, AIDS, Parkinson's disease, Huntington's disease, prion diseases, myasthenia gravis, and Duchenne's muscular dystrophy. A total of 36 compds. I were prepared and tested against the 3 aforementioned MMPs. For instance, Friedel-Crafts acylation of acetanilide by succinic anhydride in DMF in

the presence of AlCl3 gave 4-(AcNH)C6H4COCH2CH2CO2H, which was deacetylated with aqueous HCl and then treated with Me3SiCH:N2 in PhMe/MeOH mixture to give 4-H2NC6H4COCH2CH2CO2Me. Amidation of this amine with 4-MeOC6H4COCl using 4-morpholinomethyl polystyrene resin gave title compound II. Compound II inhibited MMP catalytic domains (CD) in vitro as follows (IC50): MMP-2CD 0.07, MMP-3CD 0.34, and MMP-13CD 9.8 μ M.

IT 474018-44-5P, 4-[4-[(Naphthyl-2-ylcarbonyl)amino]phenyl]-4-

oxobutyric acid 474020-99-0P,

4-Hydroxyimino-4-[4-[(naphth-2-ylcarbonyl)amino]phenyl]butyric acid RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzenebutyric acids and derivs. as inhibitors of matrix metalloproteinases)

RN 474018-44-5 CAPLUS

CN Benzenebutanoic acid, 4-[(2-naphthalenylcarbonyl)amino]- γ -oxo- (CA INDEX NAME)

RN 474020-99-0 CAPLUS

CN Benzenebutanoic acid, γ -(hydroxyimino)-4-[(2-naphthalenylcarbonyl)amino]- (CA INDEX NAME)

REFERENCE COUNT: 93 THERE ARE 93 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:154382 CAPLUS

DOCUMENT NUMBER: 138:187795

TITLE: Preparation of aryl or heterocyclyl-substituted

benzoic acid and alkanoic acid derivatives as antagonists of prostaglandin ${\tt E2}$ (PEG2) receptors

INVENTOR(S): Tani, Kousuke; Asada, Masaki; Kobayashi, Kaoru;

Narita, Masami; Ogawa, Mikio

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 1009 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
              LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
              PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
              UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
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A 20040603

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B2 20090217
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                                                                         20040909 <--
     US 7491748

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      CN 2002-817376
      A3 20020808 <--</td>

      WO 2002-JP8120
      W 20020808 <--</td>

PRIORITY APPLN. INFO.:
OTHER SOURCE(S): MARPAT 138:187795
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 $(R^2)_{\mathfrak{m}}$ A-R¹

D-R3 I

GΙ

(Q)n

AΒ Carboxylic acid derivs. (I) and nontoxic salts thereof [wherein R1 = CO2H, CO2R4, CH2OH, COR5SO2R6, CONH2, CH2NR5SO2R6, CH2NR9COR10, CH2NR9CONR5SO2R6, CH2SO2NR9COR10, CH2O2CNR5SO2R6, tetrazole, 1,2,4-oxadiazol-5-one, 1,2,4-oxadiazol-5-thione, 1,2,4-thiadiazol-5-one, etc. (wherein R4 = C1-6 alkyl, hydroxy-C1-4 alkyl, C1-4 alkoxy-C1-4 alkyl, carboxy-C1-4 alkyl, etc.; R5, R9 = H, C1-6 alkyl; R6 = C1-6 alkyl, C3-15 mono-, di-, or tricarbocyclic, 3- to 13-membered mono-, di-, or tricyclic heterocyclyl, etc.; R10 = H, R6); A = a single bond, C1-6 alkylene, C2-6 alkenylene, C2-6 alkynylene, etc.; the ring B=C3-12 mono- or dicyclic carbocyclic ring, 3- to 12-membered mono- or dicyclic heterocyclic ring; R2 = C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, C2-6 alkenyl, C2-6 alkynyl, halo, CHF2, CF3, NO2, cyano, Ph, oxo; m, n = 0,1,2; Q = (C1-4 alkylene, CF3)C2-4 alkenylene, or C2-4 alkynylene)-Cyc2, -C1-4 alkylene-Z-Cyc3, amino-C1-4 alkyl, cyano-C1-4 alkyl, acylamino-C1-4 alkyl, 3- to 7-membered monocyclic carbocyclyl, 3- to 6-membered monocyclic heterocyclyl, etc. (wherein Cyc2, Cyc3 = C3-15 mono-, di-, or tricyclic carbocyclyl or heterocyclyl, etc.; Z = O, S, SO, SO2, NH, NHCO, etc.); D = an linking

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chain consisting of 1-2 or 3-6 of atoms selected from C, N, O, or S, etc.;
R3 = C1-6 alkyl, C3-15 mono-, di-, or tricyclic carbocyclyl, 3- to
15-membered mono-, di-, or tricyclic heterocyclyl, etc.] are prepared These
carboxylic acid derivs. include phenylpropanoic acid, phenylpropenoic
acid, phenylpropanamide, phenylpropenamide, 3-oxoisoindolin-1-ylacetic
acid, benzylbenzoic acid, benzylaminoacetic acid, pyrazolylmethylbenzoic
acid, benzoylaminoacetic acid, (pyrazolylmethylphenyl)propenoic acid,
pyrazolylmethylpropanoic acid, (pyridinyloxyphenyl)propanoic acid,
phenoxyacetic acid, phenylbutanoic acid, (pyrazolylmethyl)propanamide,
(piperazinylmethylphenyl)propanamide,
(morpholinylmethylphenyl)propanamide, (pyridinyloxyphenyl)propanamide,
(pyrazolylmethyl)propenamide (oxoimidazolidinylmethylphenyl)propanamide,
(oxopyrrolidinylmethylphenyl)propenamide,
(thiophenylmethylphenyl)propenamide,
(pyrazolylmethylphenylamino)acetamide,
(thiazolylaminomethylphenyl)propanamide, thiophenylpropenamide,
(pyrazolylmethylphenoxy) acetamide, (phenoxymethyl) benzamide,
(pyrazolylmethylphenylethyl)-1,2,4-oxadiazol-5-one, and
(pyrazolylmethylphenylindolyl)acetic acid. Because of binding to PEG2
receptors, in particular, subtype {\tt EP3} and/or subtype {\tt EP4} and having
antagonism, the compds. I are useful in preventing and/or treating
diseases such as pain, allodynia, hyperalgesia, pruritus (itching),
urticaria, atopic dermatitis, contact dermatitis, Urushi (Japanese lacquer
tree) dermatitis, allergic conjunctivitis, symptoms during dialysis,
asthma, rhinitis, allergic rhinitis, nasal congestion, sneeze, psoriasis,
pollakiuria (increased urinary frequency), urination disorder, ejaculation
(semination) disorder, fever (pyrexia), systemic inflammation reaction,
learning disorder, Alzheimer's disease, neovascularization, cancer
formation, cancer proliferation, cancer metastasis to organs, cancer
metastasis to bone, hypercalcemia accompanied by cancer metastasis to
bone, retinopathy, rubrum, erythema (rash), leucoma, skin moth-patch, heat
burn, burn, steroid burn, kidney failure, nephropathy, acute or chronic
nephritis, blood electrolyte disorder, imminent abortion, threatened
abortion, excessive menstruation, dysmenorrhea, endometriosis,
premenstrual syndrome, uterine gland myopathy, reproduction disorder, and
stress. They are also useful in preventing and/or treating anxiety,
depression, psychophysiol. disorder, mental retardation, thrombus,
embolism, transient ischemic attack, cerebral infarction, atheroma, organ
transplant, heart failure, hypertension, myocardial infarction,
arteriosclerosis, circulation disorders or ulcers associated therewith, nerve
disorders, vascular dementia, edema, diarrhea, constipation, biliary
excretion disorder, ulcerative colitis, Crohn's disease, irritable bowel
syndrome, reduction of rebound after using steroid drugs, aids for decreasing
or removing steroid drugs, bone diseases, systemic granuloma, immune
diseases, pyorrhea alveolaris, gingivitis, periodontal disease, nerve cell
death, lung disorder, liver disorder, acute hepatitis, myocardial
ischemia, Kawasaki disease, multiple organ failure, chronic headache,
angiitis, venous failure, varicose vein (varicosis), anal fistula,
diabetes insipidus, neonatal patent ductus arteriosus, and cholelithiasis.
Thus, 4-hydroxymethyl-2-[2-(naphthalen-2-yl)ethoxy]cinnamic acid Et ester
was mesylated by methanesulfonyl chloride in the presence of Et3N in THF
at 0^{\circ} for 15 min and condensed with pyrazole in the presence of NaH
in DMF at 0^{\circ} to give 2-[2-(naphthalen-2-yl)ethoxy]-4-(1-
pyrazolylmethyl)cinnamic acid Et ester.
4-[2-[[2-(Naphthalen-1-yl)propanoyl]amino]-4-
methylthiomethylphenyl]butanoic acid inhibited the binding of [3H]PGE2 to
prostaglandin E2 (PEG2) receptor subtype EP1, Ep2, EP3, and EP4 expressed
in CHO cells with Ki of >10, >10, 0.27, and 0.038 \mu M, resp. A tablet
pyrazolylmethyl)cinnamic acid was described.
499154-34-6P
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499134-34-0P

ΤТ

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of aryl or heterocyclyl-substituted benzoic acid and alkanoic acid derivs. as antagonists of prostaglandin E2 (PEG2) receptors as therapeutic agents)

RN 499154-34-6 CAPLUS

CN 2-Propenoic acid, 3-[2-[methyl[2-(2-naphthalenyl)ethyl]amino]-4-(phenoxymethyl)phenyl]-, ethyl ester (CA INDEX NAME)

IT 499154-35-7P 499154-36-8P 499154-38-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryl or heterocyclyl-substituted benzoic acid and alkanoic acid derivs. as antagonists of prostaglandin E2 (PEG2) receptors as therapeutic agents)

RN 499154-35-7 CAPLUS

CN Benzenepropanoic acid, 2-[methyl[2-(2-naphthalenyl)ethyl]amino]-4-(phenoxymethyl)-, ethyl ester (CA INDEX NAME)

RN 499154-36-8 CAPLUS

CN Benzenepropanoic acid, 2-[methyl[2-(2-naphthalenyl)ethyl]amino]-4-(phenoxymethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{PhO-CH}_2\\ & \text{Me}\\ & \\ & \text{CH}_2\text{-CH}_2\text{-N}\\ & \\ & \text{HO}_2\text{C-CH}_2\text{-CH}_2\\ \end{array}$$

RN 499154-38-0 CAPLUS

$$\begin{array}{c|c} & \text{PhO-CH}_2\\ & \text{Ac}\\ & \text{CH}_2\text{-CH}_2\text{-N}\\ & \text{HO}_2\text{C}\text{-CH}_2\text{-CH}_2\\ \end{array}$$

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 11 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:833521 CAPLUS

DOCUMENT NUMBER: 137:337683

TITLE: Preparation of benzenebutyric acids as inhibitors of

matrix metalloproteinases

INVENTOR(S): Purchase, Claude Forsey; Roth, Bruce David; White,

Andrew David

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: U.S. Pat. Appl. Publ., 43 pp., Division of U.S. Ser.

No. 351,549. CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020161050	A1	20021031	US 2001-23288	20011217 <
US 6624196	B2	20030923		
US 6541521	В1	20030401	US 1999-351549	19990712
PRIORITY APPLN. INFO.:			US 1999-351549	A3 19990712 <
OTHER SOURCE(S):	MARPAT	137:337683		
CT				

GΙ

The title compds. with general formula of I [wherein R1 = H, (cyclo)alkyl, AΒ (hetero)aryl, (hetero)arylalkyl, or heterocyclyl(alkyl); R2, R2a, R3, and R3a = independently H, F, R5, NR7CO-alkyl, alkanoyl(oxy), alkoxycarbonyl, alkanoylthio, NR7-alkyl, alkylsulfinyl, alkylsulfonyl(amino), CN, CF3, or (un) substituted alkyl-R5; R5 = H, (hetero) aryl, heterocyclyl, N-naphthalimido, N-2,3-naphthylimido, indol-3-yl, imidazol-4-yl, pyridyl, 2,4-dioxo-1,5,5-trimethylimidazolidin-3-yl, or a side chain of an (un) naturally occurring amino acid; R4 = SH, OR4a, or NHOR4a; R4a = H, (aryl)alkyl, cycloalkyl, or aryloxymethyl; X = COCH2, CONR6, NR6CO, CO2, OCO, CO, CH(OH), C(=NH)NR6, OCO2, OCONR6, NR6CO2, NR6CONR6a, CSNR6, NR6CS, CSO, OCS, OCSO, OCSNR6, NR6CSO, or NR6CSNR6a; R6 and R6a = independently H or CH3; or R1 and R6 together form a ring containing (un)substituted 4-7carbons, etc.; Z = CO, CN(OR7), C(OH)R7, CHF, or CF2; R7 = H or alkyl; m = CF20-4; or isomers and pharmaceutically acceptable salts thereof] where prepared as inhibitors of matrix metalloproteinases (MMP), particularly gelatinase A, collagenase-3, and stromelysin-1. For example, reaction of acetanilide and succinic anhydride in DMF in the presence of AlC13 gave 4-(4-acetylaminophenyl)-4-oxobutyric acid. The above compound was treated with 1.0 $\bar{\text{M}}$ aqueous HCl, followed by 50% weight/weight aqueous NaOH, and again by 1.0 M

aqueous HCl to give 4-(4-aminophenyl)-4-oxobutyric acid. Subsequent esterification, amidation, and hydrolysis of the above compound afforded 4-[4-(4-methylbenzoylamino)phenyl]-4-oxobutyric acid (II). II showed the activity vs. MMP-2CD, MMP-3CD, and MMP-13CD with IC50 values of 0.22 μ M, 1.55 μ M, and 5.8 μ M, resp. I are useful for the treatment of multiple sclerosis, atherosclerotic plaque rupture, aortic aneurysm, heart failure, left ventricular dilation, restenosis, periodontal disease, corneal ulceration, treatment of burns, decubital ulcers, wound healing, cancer, inflammation, pain, arthritis, osteoporosis, renal disease, or other autoimmune or inflammatory disorders dependent upon tissue invasion by leukocytes or other activated migrating cells, acute and chronic neurodegenerative disorders including stroke, head trauma, spinal cord injury, Alzheimer's disease, amyotrophic lateral sclerosis, cerebral amyloid angiopathy, AIDS, Parkinson's disease, Huntington's disease, prion

IT 474018-44-5P 474020-99-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

diseases, myasthenia gravis, and Duchenne's muscular dystrophy (no data).

(MMP inhibitor; preparation of benzenebutyric acids as inhibitors of matrix metalloproteinases)

RN 474018-44-5 CAPLUS

CN Benzenebutanoic acid, 4-[(2-naphthalenylcarbonyl)amino]- γ -oxo- (CA INDEX NAME)

RN 474020-99-0 CAPLUS

CN Benzenebutanoic acid, γ -(hydroxyimino)-4-[(2-naphthalenylcarbonyl)amino]- (CA INDEX NAME)

L10 ANSWER 12 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:313485 CAPLUS

DOCUMENT NUMBER: 136:332596

TITLE: Organic electroluminescent device containing

hole-transporting polyester layers

INVENTOR(S): Seki, Mieko; Okuda, Daisuke; Yoneyama, Hiroto; Hirose,

Eiichi; Mashimo, Kiyokazu; Agata, Takashi; Sato,

Katsuhiro

PATENT ASSIGNEE(S): Fuji Xerox Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 2002124388	A	20020426	JP 2000-313190	20001013
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	US 20020182440	A1	20021205	US 2001-973800	20011011 <
	US 6652995	В2	20031125		
PRIO	RITY APPLN. INFO.:			JP 2000-313190 A	20001013 <
AB	The invention relat	es to a	n organic ele	ectroluminescent device	comprising the
	hole-transporting 1	ayer ma	de of the po	lyesters containing ≥ 1	repeating
	partial structures	represe:	nted by -TC61	H4N(Ar)X[N(Ar)C6H4]kT- a	and/or
	-TC6H4-C6H4N(Ar)X[N	(Ar)C6H	4-C6H4]kT- [2	Ar = polyarom. (un) subst	tituted with
	3-10 aromatic rings	or mon	ovalent conde	ensed aromatic (un) subst	tituted with 2-10
	aromatic rings; X =	(un)su	bstituted di	valent aromatic group;	$\Gamma = C1-6$ divalent
				t branched hydrocarbyl;	
ΙT	415715-30-9 415715-	•			-
	415715-43-4				
	DI. DEV (Donning com		HORO (II.c.c.)	

RL: DEV (Device component use); USES (Uses)

(organic electroluminescent device containing hole-transporting polyester layers)

RN 415715-30-9 CAPLUS

CN Benzenepropanoic acid, 4,4'-[[1,1'-biphenyl]-4,4'-diylbis(2-naphthalenylimino)]bis-, dimethyl ester, polymer with 1,2-ethanediol (9CI) (CA INDEX NAME)

CM 1

CRN 415715-29-6 CMF C52 H44 N2 O4

CM 2

CRN 107-21-1 CMF C2 H6 O2

 ${\rm HO-CH_2-CH_2-OH}$

RN 415715-32-1 CAPLUS

CN Poly[oxy-1,2-ethanediyloxy(1-oxo-1,3-propanediyl)-1,4-phenylene(2-naphthalenylimino)[1,1'-biphenyl]-4,4'-diyl(2-naphthalenylimino)-1,4-phenylene(3-oxo-1,3-propanediyl)] (9CI) (CA INDEX NAME)

RN 415715-41-2 CAPLUS

CN Benzenepropanoic acid, 4,4'-[[1,1':4',1''-terphenyl]-4,4''-diylbis(2-naphthalenylimino)]bis-, dimethyl ester, polymer with 1,2-ethanediol (9CI) (CA INDEX NAME)

CM 1

CRN 415715-40-1 CMF C58 H48 N2 O4

CM 2

CRN 107-21-1 CMF C2 H6 O2

 ${\rm HO}^-{\rm CH}_2{}^-{\rm CH}_2{}^-{\rm OH}$

RN 415715-43-4 CAPLUS

CN Poly[oxy-1, 2-ethanediyloxy(1-oxo-1, 3-propanediyl)-1, 4-phenylene(2-naphthalenylimino)[1,1':4',1''-terphenyl]-4,4''-diyl(2-naphthalenylimino)-1,4-phenylene(3-oxo-1,3-propanediyl)] (9CI) (CA INDEX NAME)

PAGE 1-A

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L10 ANSWER 13 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:256222 CAPLUS

DOCUMENT NUMBER: 136:294651

TITLE: Preparation of aryl-substituted N-hydroxy amides with

amide linkages as HDAC inhibitors for treatment of

proliferative conditions

INVENTOR(S): Watkins, Clare J.; Romero-Martin, Maria-Rosario;

Moore, Kathryn G.; Ritchie, James; Finn, Paul W.; Kalvinsh, Ivars; Loza, Einars; Starchenkov, Igor; Dikovska, Klara; Bokaldere, Rasma Melita; Gailite, Vija; Vorona, Maxim; Andrianov, Victor; Lolya, Daina; Semenikhina, Valentina; Amolins, Andris; Harris, C.

John; Duffy, James E. S.

PATENT ASSIGNEE(S): Prolifix Limited, UK SOURCE: PCT Int. Appl., 346 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	TENT	NO.			KIN	D	DATE				ICAT				D.	ATE	
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		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PH,	PL,
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,
		US,	UΖ,	VN,	YU,	ZA,	ZW										
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		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG	
CA	2423	868			A1		2002	0404		CA 2	001-	2423	868		2	0010	927 <
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EΡ	1335	898			A1		2003	0820		EP 2	001-	9700	14		2	0010	927 <
ΕP	1335	898			В1		2005	1123									
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							RO,										
JP	2004	5099	41		Τ		2004	0402		JP 2	002-	5310	82		2	0010	927 <
ΕP																	927 <
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,

IE, FI, CY, TR AT 2001-970014 20010927 <--AT 310719 Т 20051215 ES 2257441 Т3 ES 2001-970014 20010927 <--20060801 Α1 US 20040092598 20040513 US 2003-381791 20030827 <--PRIORITY APPLN. INFO.: A 20000929 <--GB 2000-23985 US 2001-297785P P 20010614 <--EP 2001-970014 A3 20010927 <--WO 2001-GB4329 W 20010927 <--

OTHER SOURCE(S): MARPAT 136:294651

The title compds. AQ1JQ2CONHOH [I; wherein A = aryl group; Q1 = arylleader group having a backbone of at least 2 C atoms; J = NR1CO or CONR1; R1 = amido substituent; Q2 = acid leader group; and pharmaceutically acceptable salts, solvates, amides, esters, ethers, chemical protected forms, and prodrugs thereof] were prepared via solution phase and solid phase synthetic methods as histone deacetylase (HDAC) inhibitors for treatment of proliferative conditions, such as cancer and psoriasis. For example, 6-aminocaproic acid Me ester • HCl was coupled with 2-naphthoyl chloride in the presence of diisopropyl ethylamine in DMF to give the amide. Deesterification (79%), followed by conversion to the N-hydroxyamide using HONH2•HCl in the presence of 1,1'-carbonyldiimidazole in THF, afforded naphthalene-2-carboxylic acid (5-hydroxycarbamoylpentyl)amide II (PX105687) in 40% yield. The latter inhibited recombinant HDAC1 and HDAC2 with IC50 values of 33 nM and 29 nM, resp., and inhibited cell proliferation against the human cervical adenocarcinoma (HeLa) cell line using cell proliferation reagent WST-1 with IC50 of 1.1 nM. Structure-activity relationship studies showed superior activity for I when (1) the backbone of Q1 had > 1 carbon atoms, and (2) the alkylene group Q2 had > 5 carbon atoms.

IT 406725-58-4P, 3-[4-[(Naphthalene-2-carbonyl)amino]phenyl]acrylic
 acid methyl ester 406725-59-5P,
 3-[4-[(Naphthalene-2-carbonyl)amino]phenyl]acrylic acid
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(intermediate; preparation of N-hydroxy amides with amide linkages as HDAC inhibitors for treatment of proliferative conditions)

RN 406725-58-4 CAPLUS

CN 2-Propenoic acid, 3-[4-[(2-naphthalenylcarbonyl)amino]phenyl]-, methyl ester (CA INDEX NAME)

RN 406725-59-5 CAPLUS

CN 2-Propenoic acid, 3-[4-[(2-naphthalenylcarbonyl)amino]phenyl]- (CA INDEX NAME)

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 14 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:742139 CAPLUS

DOCUMENT NUMBER: 133:310145

TITLE: Preparation of modified pentapeptide antagonists of

the atrial natriuretic peptide clearance receptor INVENTOR(S): Veale, Chris Allan; Edwards, Philip Duke; Jacobs,

Robert Toms; Davenport, Timothy Wayne; Warwick, Paul

James

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061631	A1	20001019	WO 2000-GB1319	20000407 <

W: JP. US

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: US 1999-128890P P 19990412 <--

OTHER SOURCE(S): MARPAT 133:310145

Compds. R5-R4-R3-CH2CONR2-X-NR1CHR6CO[NHCH(CH2CO2H)CO-R7-R8-(S)] [X = CR1:CH, CHR1CO (I), or CR1CO; R12 = CH2CH2, CH2CH2CH2, :CHCH:CH, N:CH; R2 = H, Me; R3 = CH2CH2CH2, (E)-CH:CHCONH, CH2CH2CONH, phenylene, or a single bond; R4 = NHCO, CONH, SO2NH; R5 = 1- or 2-naphthyl, CH2CH2NHCH2CH:CHPh, CH2CH2Ph, CH:CHPh, 2-, 3-, 4-, or 6-quinolyl, 3-isoquinolyl, 2-quinoxaline, 5-chloro-2-indoly1, 2-indoly1, (un) substituted Ph, CH2CH2CH2Ph, 6-quinolylcarbonyl, 2-quinoxalinecarbonyl, 5-chloro-2-benzimidazolyl, fluorenylmethoxycarbonyl, 4-chlorobenzyl, 4-methylbenzyl, 3-quinoxalinyl, 3,4-difluorophenyl, 4-fluorophenyl; R6 = iso-Bu, sec-butyl; R7 = N-methylglycine, NHCH2CH2NHCO, L- or D-arginine or -ornithine, histidine, citrulline, proline, etc.; R8 = L- or D-isoleucine-NH2, CH2-cyclopentyl, CH2-2-furanyl, tert-butylglycine-NH2, Bu, etc.] were prepared as antagonists of the atrial natriuretic peptide clearance receptor. Thus, inhibitory test data are tabulated for 156 compds. of the invention, including I [R12 = CH2CH2 (S-configuration); R2 = H; R3 = p-phenylene; R4 = CONH; R5 = 2-naphthyl; R6 = s-Bu (S-configuration); R7 = N-MeGly; R8 = Ile-NH2] (Ki = 2.17 nM).

IT 160346-06-5P 301840-38-0P 301840-40-4P 301840-42-6P 301840-45-9P 301840-46-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of modified pentapeptide antagonists of the atrial natriuretic peptide clearance receptor)

RN 160346-06-5 CAPLUS

CN Benzeneacetic acid, 4-[(2-naphthalenylcarbonyl)amino]- (CA INDEX NAME)

CN Benzeneacetic acid, 4-[(2-naphthalenylcarbonyl)amino]-, methyl ester (CA INDEX NAME)

RN 301840-40-4 CAPLUS

CN Benzeneacetic acid, 3-[(2-naphthalenylcarbonyl)amino]- (CA INDEX NAME)

RN 301840-42-6 CAPLUS

CN Benzeneacetic acid, 3-[(2-naphthalenylcarbonyl)amino]-, methyl ester (CA INDEX NAME)

RN 301840-45-9 CAPLUS

CN Benzeneacetic acid, 2-[(2-naphthalenylcarbonyl)amino]- (CA INDEX NAME)

RN 301840-46-0 CAPLUS

CN Benzeneacetic acid, 2-[(2-naphthalenylcarbonyl)amino]-, methyl ester (CA INDEX NAME)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 15 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:492070 CAPLUS

DOCUMENT NUMBER: 133:109955

TITLE: Amino acid derivatives and compositions therewith for

delivering active agents

INVENTOR(S): Leone-Bay, Andrea; Ho, Koc-kan; Sarubbi, Donald J.;

Leipold, Harry R.

PATENT ASSIGNEE(S): Emisphere Technologies, Inc., USA

SOURCE: U.S., 44 pp., Cont.-in-part of PCT 9736480.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 30

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
	A 20000718 T 20070415 A1 20070606	US 1997-797816	19970207 < 19960401 < 19960401 <
ES 2284168 CZ 299295 WO 9736480	T3 20071101 B6 20080611 A1 19971009		19960401 < 19960401 < 19970318 <
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CA 2279331 CA 2319672 CA 2319680 WO 9834632	A1 19980813 A1 19980813 A1 19980813 A1 19980813	CA 1998-2319672 CA 1998-2319680	19980206 < 19980206 < 19980206 < 19980206 <
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AU 9862756 AU 738735	A 19980826 B2 20010927	AU 1998-62756	19980206 <
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EP 1093819 EP 1093819 EP 1093819	A2 20010425 A3 20030514 B1 20060503	EP 2000-122704	19980206 <
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PRIORITY APPLN. INFO.:
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                                                               A3 20001214 <--
                                           US 2001-5511
                                                               A1 20011107 <--
AΒ
    Carrier compds., especially amino acid derivs., and compns. therewith which are
    useful in the delivery of active agents, e.g. peptides,
    mucopolysaccharides, carbohydrates, and lipids, are provided. Methods of
    administration and preparation are provided as well. An intracolonic dosing
    composition containing parathyroid hormone 25 \mug/kg,
     4-[4-(phenoxyacetyl)aminophenyl]butyric acid as carrier 100 mg/kg in 25%
    aqueous propylene glycol was prepared
    209961-45-5P 209961-83-1P 209961-85-3P
ΤТ
    284028-07-5P
    RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
    study); PREP (Preparation); USES (Uses)
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209961-45-5 CAPLUS
Benzenebutanoic acid, 4-[[(1-hydroxy-2-naphthalenyl)carbonyl]amino]- (CA

RN

CN

(amino acid derivs. as drug carriers for biol. active components)

INDEX NAME)

RN 209961-83-1 CAPLUS

CN Benzenebutanoic acid, 4-[[(3-hydroxy-2-naphthalenyl)carbonyl]amino]- (CA INDEX NAME)

RN 209961-85-3 CAPLUS

CN Benzenepropanoic acid, 4-[[(3-hydroxy-2-naphthalenyl)carbonyl]amino]- (CA INDEX NAME)

RN 284028-07-5 CAPLUS

CN Benzenebutanoic acid, 4-[[(1,4-dihydro-1-oxo-2-naphthalenyl)carbonyl]amino]- (CA INDEX NAME)

REFERENCE COUNT: 100 THERE ARE 100 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 16 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:144899 CAPLUS

DOCUMENT NUMBER: 132:189658

TITLE: Amino acid derivative and peptide anti-cancer

compounds and methods

INVENTOR(S): Stewart, John M.; Chan, Daniel C. F.; Gera, Lojos;

York, Eunice; Bunn, Paul

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA	TENT	NO.			KIN	O	DATE			APPL	ICAT	ION 1	. OV		D.	ATE		
WO	2000	0110	 22		A1	_	2000	0302		WO 1	999-	 US19:	 381		1	9990	320	<
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US	6388	054			В1		2002	0514		US 1	999-	3780	19		1	9990	319	<
AU	2000	0159	59		Α		2000	0314		AU 2	000-	1595	9		1	9990	320	<
PRIORIT	Y APP	LN.	INFO	.:						US 1	998-	9721	0P		P 1	9980	320	<
										US 1	999-	1411	69P		P 1	9990	625	<
										US 1	999-	3780	19		A 1	9990	319	<
										WO 1	999-	US19:	381	1	W 1	9990	320	<

OTHER SOURCE(S): MARPAT 132:189658

AB The invention provides amino acid derivative and peptidic compds. useful to inhibit tumor growth and to induce apoptosis. In general, the anti-cancer agents (ACA) are described by the formula [ACA]n-X [X = linker group with 2-5 functional groups or is absent; <math>n = 1; ACA as described in the invention (Markush included)].

IT 259884-52-1P 259884-53-2P 259884-54-3P

259884-55-4P 259884-56-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(peptide and non-peptide anti-cancer compds. and methods)

RN 259884-52-1 CAPLUS

CN Phenylalanine, N-(aminoiminomethyl)-4-[[(2S)-2-[(aminoiminomethyl)amino]-3-(2-naphthalenyl)-1-oxopropyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259884-53-2 CAPLUS

CN Benzeneacetic acid, 4-[[(2S)-2-

[[(cyclohexylamino)(cyclohexylimino)methyl]amino]-3-(2-naphthalenyl)-1-oxopropyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 259884-54-3 CAPLUS

CN Benzeneacetic acid, 4-[[(2S)-2-[(aminoiminomethyl)amino]-3-(2-naphthalenyl)-1-oxopropyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 259884-55-4 CAPLUS

CN Benzeneacetic acid, 4-[[(2R)-2-[[(cyclohexylamino)(cyclohexylimino)methyl]amino]-3-(2-naphthalenyl)-1oxopropyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 259884-56-5 CAPLUS

CN Benzeneacetic acid, 4-[[(2R)-2-[(aminoiminomethyl)amino]-3-(2-naphthalenyl)-1-oxopropyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 17 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:27805 CAPLUS

DOCUMENT NUMBER: 130:95843

TITLE: Preparation of cyclopentylcarbonylamino acid as

inhibitors of $\alpha 4\beta 1$ mediated cell adhesion

INVENTOR(S): Lobl, Thomas J.; Rishton, Gil; Teegarden, Bradley;

Polinsky, Alex; Yamagishi, Masafumi; Tanis, Steven P.; Fisher, Jed F.; Thomas, Edward W.; Chrusciel, Robert

Α.

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan; Pharmacia & Upjohn

Company

SOURCE: PCT Int. Appl., 342 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

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7.11	9881										1998-	8163	3		1	aaan	623	/
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	9916									Lil	1770	JJ1J	21			<i>J J</i> 0 0 ·	023	
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PRIORIT					21		2005	0,22		IIS	1997-	5051	5P		P 1	9970	623	<
				• •							1998-					9980		
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OTHER SO	OURCE	(S):			MARI	PAT	130:	9584				0010	001		., _	,,,,,	020	`

GΙ

Title compds. [I; n = 0, 1; R1 = H, CH3; R2 = CN, CO2H, CONH2, CONHOCH2Ph, NHCOOCH2Ph, etc.; R3 = H, CH3; X = CH, CO; R4 = H, alkyl; R5 = CO2H, CONH2, COOR, etc.; R = alkyl; R6 = aryl, heteroaryl, arylcarbonyl, aarylcarbonylaminoalkyl, etc.], a pharmaceutically acceptable salt, a stereoisomer thereof are prepared as inhibitors of $\alpha 4\beta 1$ mediated adhesion to either VCAM or CS-1 and which can be used for treating or preventing $\alpha 4\beta 1$ adhesion mediated conditions in human such as inflammatory diseases. Thus, (1S-cis)- N-[(3-carboxy-2,2,3-trimethylcyclopentyl)carbonyl]-O-(phenylmethyl)-L-tyrosine was prepared and assayed for inhibition of $\beta 1$ -mediated cell adhesion in vitro.

IT 219495-10-0P 219495-11-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyclopentylcarbonylamino acid as inhibitors of $\alpha 4\beta 1$ mediated cell adhesion)

RN 219495-10-0 CAPLUS

CN L-Phenylalanine, N-[[(1S,3R)-3-carboxy-2,2,3-trimethylcyclopentyl]carbonyl]-4-[(2-naphthalenylcarbonyl)amino]-, α -methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219495-11-1 CAPLUS

CN L-Phenylalanine, N-[[(1S,3R)-3-carboxy-2,2,3-trimethylcyclopentyl]carbonyl]-4-[(2-naphthalenylcarbonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 18 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:550404 CAPLUS

DOCUMENT NUMBER: 129:175441

ORIGINAL REFERENCE NO.: 129:35660h,35661a

TITLE: Preparation of tetrahydronaphthylalkenoyloxy- and

-aminobenzoates and analogs for treatment of cellular

differentiation and proliferation disorders

INVENTOR(S):
Bernardon, Jean-Michel

PATENT ASSIGNEE(S): Centre International de Recherches Dermatologiques

Galderma (C.I.R.D. Galderma), Fr.

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 9834909	A1 19980	0813 WO 1998-FR248	19980209 <
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             PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ,
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PRIORITY APPLN. INFO.:
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                                             WO 1998-FR248
                                                                     19980209 <--
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OTHER SOURCE(S): MARPAT 129:175441

AB R3Z3Z2Z1R1 [R1 = Me, CH2OR5, OR5, COR6; R3 = (annelated) (un) substituted Ph; R5 = H, alkyl, alkanoyl; R6 = H, alkyl, OH, alkoxy, etc.; Z1 = bond, CH2, CH:CH, C.tplbond.C, etc.; Z2 = (un) substituted phenylene, furandiyl, thiophenediyl, pyridinediyl; Z3 = CH:CHCO2, C.tplbond.CCO2, CONH, etc.] were prepared for treatment of cellular differentiation and proliferation disorders (no data). Thus, 5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenecarboxaldehyde was condensed with (EtO)2P(O)CH2CO2Et and the product converted in 4 steps to 4-[3-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthyl)acryloyloxy]benzoic acid.

IT 211559-40-9P 211559-53-4P 211559-55-6P 211559-61-4P 211559-63-6P 211559-65-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetrahydronaphthylalkenoyloxy- and -aminobenzoates and analogs for treatment of cellular differentiation and proliferation disorders)

RN 211559-40-9 CAPLUS

CN 2-Propenoic acid, 3-[2-[[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)carbonyl]amino]phenyl]-, methyl ester (CA INDEX NAME)

RN 211559-53-4 CAPLUS

CN 2-Propenoic acid, 3-[3-[[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)carbonyl]amino]phenyl]-, methyl ester (CA INDEX NAME)

RN 211559-55-6 CAPLUS

CN 2-Propenoic acid, 3-[2-[[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)carbonyl]amino]phenyl]- (CA INDEX NAME)

RN 211559-61-4 CAPLUS

CN 2-Propenoic acid, 3-[3-[[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)carbonyl]amino]phenyl]- (CA INDEX NAME)

RN 211559-63-6 CAPLUS

CN 2-Propenoic acid, 3-[2-[[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)carbonyl]amino]phenyl]- (CA INDEX NAME)

RN 211559-65-8 CAPLUS

CN 2-Propenoic acid, 3-[3-[[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)carbonyl]amino]phenyl]- (CA INDEX NAME)

IT 211560-08-6P 211560-10-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tetrahydronaphthylalkenoyloxy- and -aminobenzoates and analogs for treatment of cellular differentiation and proliferation disorders)

RN 211560-08-6 CAPLUS

CN 2-Propenoic acid, 3-[2-[[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)carbonyl]amino]phenyl]-, methyl ester (CA INDEX NAME)

RN 211560-10-0 CAPLUS

CN 2-Propenoic acid, 3-[3-[[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)carbonyl]amino]phenyl]-, methyl ester (CA INDEX NAME)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 19 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:548547 CAPLUS

DOCUMENT NUMBER: 129:180147

ORIGINAL REFERENCE NO.: 129:36505a,36508a

TITLE: Compounds and compositions for delivering active

agents

INVENTOR(S): Leone-Bay, Andrea; et al.

PATENT ASSIGNEE(S): Emisphere Technologies, Inc., USA

SOURCE: PCT Int. Appl., 147 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

PA:	TENT NO.			KINI		DATE			APPI	LICAT	ION	NO.		D.	ATE		
WO	KZ, PL,	EE, LC,	ES, LK, RO,	A1 AU, FI, LR, RU,	AZ, GB, LS, SD,	1998 , BA, , GE, , LT, , SE,	0813 BB, GW, LU,	BG, HU, LV,	BR, ID, MD,	BY, IL, MG,	CA, IS, MK,	CH, JP, MN,	KE, MW,	CU, KG, MX,	KP, NO,	DE, KR, NZ,	
	RW: GH, FR,	GM, GB,	KE, GR,	LS, IE,	MW,	, SD, , LU,	MC,	NL,									
US U	GA, 5773647 5776888 5804688 5804688 5876710 5879681 5939381 5990166 60551561 6060513 6090958 6313088 6358504 2279331 9862756 738735 1015008 R: AT, 20015130 337131 9907290 771024 771434 20020119 20030008 6525020 20030235 7125910 20040022 7071214 20042027 20060166 CAPPLN.	BE, FI 80 910 900 612 856 45 859	CH,	A A A A A A A B1 B1 A1 A B2 A1 DE,	DK,	2001 2001 2000 2004 2004 2002 2003 2003 2003 2006 2004 2006	0630 0707 0908 0302 0309 0817 1123 0418 0509 0718 1106 0319 0826 0927 0705 FR, 0828 0831 0325 0829 0109 01225 1024 0205 0704	GB,	US 1 US 1 UUS 2 UUS 2 UUS 1	1998- 1998- 1999- 2000- 2000- 2003- 2003- 2003- 2004- 2006- 1997- 1997- 1997- 1997- 1997- 1997- 1997- 1997- 1997- 1997- 1997-	7963 7963 7963 7978 7978 7978 7978 7978 7978 7978 797	38 333340 21376061 331 1048 82 85 443336789 4400316720	NL,	1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1	9980 9980 9980 9980 9990 0001 0030 0040 09970 9970 9970 9970 9970 9970 9970 9970 9970	207 207 2207 2207 2207 2207 2207 2207 2	< < < < < < < <
									WO 1	L996- L997- L998-	US51	28	1	A2 1	9960. 9970. 9980.	318	<

EΡ	1999-117292	A3	19980206	<
WO	1998-US2619	W	19980206	<
AU	2000-72260	АЗ	20001214	<
US	2000-746548	В1	20001219	<
US	2001-1731	A1	20011031	<
US	2003-395685	АЗ	20030324	<

AB Carrier compds. and compns. which are useful in the delivery of active agents are provided. The carrier compound can be an amino acid derivative, and the active agent can be a peptide, mucopolysaccharide, carbohydrate, or lipid. Methods of administration, including oral administration, and preparation are provided as well. For example, an oral solution contained parathyroid hormone 100 μ g, 4-[4-(phenoxyacetyl)aminophenyl]butyric acid (as carrier) 400 mg, and water 1L.

IT 209961-45-5 209961-83-1 209961-85-3

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (amino acid derivs. as carriers for oral delivery of biol. active agents)

RN 209961-45-5 CAPLUS

CN Benzenebutanoic acid, 4-[[(1-hydroxy-2-naphthalenyl)carbonyl]amino]- (CA INDEX NAME)

RN 209961-83-1 CAPLUS

CN Benzenebutanoic acid, 4-[[(3-hydroxy-2-naphthalenyl)carbonyl]amino]- (CA INDEX NAME)

RN 209961-85-3 CAPLUS

CN Benzenepropanoic acid, 4-[[(3-hydroxy-2-naphthalenyl)carbonyl]amino]- (CA INDEX NAME)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 20 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:457247 CAPLUS

DOCUMENT NUMBER: 129:113532

ORIGINAL REFERENCE NO.: 129:23203a,23206a

TITLE: Compounds and compositions for delivering active

agents

INVENTOR(S): Leone-Bay, Andrea; Wang, Eric; Sarubbi, Donald J.;

Leipold, Harry

PATENT ASSIGNEE(S): Emisphere Technologies, Inc., USA

SOURCE: U.S., 34 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 30

PATENT INFORMATION:

	CENT N				KIN		DATE				LICAT					ATE		
US CA CA	57768 22793 23196 23196	388 331 572			A A1 A1 A1		1998 1998 1998 1998	0707 0813 0813		US CA CA	1997- 1998- 1998- 1998-	-7963 -2279 -2319	38 331 672		1 1 1	9970 9980 9980 9980	207 206 206	<
WO	98346	532			A1		1998			WO	1998-	-US26	19		1	9980	206	<
			AM.	AT.			BA,											
							GE,											
							LT,											
							SE,											
					YU,		~_,	20,	~_,	011	, ~_,	,	,	,	,	011,	00,	
							SD,	S7.	UG.	$z_{\rm W}$. AT.	BE.	CH.	DE.	DK.	ES.	FT.	
			•		•		LU,							•				
							SN,				, - ,	,	- ,	- ,	•	- ,		
AU	98627		,	,	A		1998			AU	1998-	-6275	6		1	9980	206	<
	73873				В2		2001											
	99383				A2					EΡ	1999-	-1172	92		1	9980	206	<
	99383				А3		2000 2001	0502										
ΕP	99383	31			В1		2008	0109										
	R:	AT, IE,		CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,	,
EP	10150				A1		2000	0705		EΡ	1998-	-9050	42		1	9980	206	<
	R:			CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,	,
EP	10938	IE, 319	F.T		A2		2001	0425		EP .	2000-	-1227	04		1	9980	206	<
ΕP	10938	319			А3		2003	0514										
ΕP	10938	319			В1		2006											
	R:	AT, IE,		CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,	•
JP	20015				Т		2001	0828		JP	1998-	-5350	3.4		1	9980	206	<
	33713						2001				1998-					9980		
	32490				Τ		2006				2000-					9980		
	10938				T		2006				2000-					9980		
	22634				T.3		2006				2000-					9980		
	38316				T		2008				1999-					9980		
	22979				T3		2008				 1999-				1	9980		
MX	99072	290			Α		2000			MX	1999-	-7290			1	9990		
	50727				А		2001			NZ .	2000-	-5072	75		2	0001		
ΝZ	50727	76			А		2002	0201			2000-		76		2	0001	003	<
JΡ	20011	13109	90		Α		2001	0515		JP .	2000-	-3112	31		2	0001	011	<
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JΡ	20011	13949	94		Α		2001	0522		JP .	2000-	-3112	30		2	0001	011	<
JР	40126	579			В2		2007											
AU	77102	24			В2		2004	0311		AU .	2000-	-7226	1		2	0001	214	<
AU	77143	3 4			В2		2004	0325		AU .	2000-	-7226	0		2	0001	214	<
HK	10371	L32			A1		2006	1103		HK .	2001-	-1073	90		2	0011	023	<
AU	20042	2027	45		A1		2004	0923			2004-				2	0040	623	<
RIT	APPI	LN. :	INFO	.:						US	1997-	-7963	34		A 1	9970	207	<

US 1997-796335 A 19970207 <--US 1997-796336 Α 19970207 <--US 1997-796337 Α 19970207 <--US 1997-796338 19970207 <--Α US 1997-796339 Α 19970207 <--US 1997-796340 Α 19970207 <--US 1997-796341 Α 19970207 <--US 1997-797100 Α 19970207 <--US 1997-797813 Α 19970207 <--US 1997-797816 19970207 <--Α US 1997-797817 19970207 <--Α US 1997-797820 19970207 <--Α AU 1998-62756 A3 19980206 <--CA 1998-2279331 A3 19980206 <--EP 1998-905042 A3 19980206 <--EP 1999-117292 A3 19980206 <--A3 19980206 <--JP 1998-535034 NZ 1998-337131 A1 19980206 <--WO 1998-US2619 W 19980206 <--AU 2000-72260 A3 20001214 <--

AB Carrier compds. and compns. which are useful in the delivery of active agents are provided. Methods of administration and preparation are provided as well. Standard methods of preparation are mentioned for the 193 carrier compds.

listed, which primarily are N-(fatty acid) benzamide derivs. Examples are listed for the delivery of parathyroid hormone, recombinant human growth hormone, interferon and the evaluation of heparin in rats.

IT 209961-45-5P 209961-83-1P 209961-85-3P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzamide fatty acid derivs. for delivering active agents)

RN 209961-45-5 CAPLUS

CN Benzenebutanoic acid, 4-[[(1-hydroxy-2-naphthalenyl)carbonyl]amino]- (CA INDEX NAME)

RN 209961-83-1 CAPLUS

CN Benzenebutanoic acid, 4-[[(3-hydroxy-2-naphthalenyl)carbonyl]amino]- (CA INDEX NAME)

RN 209961-85-3 CAPLUS

CN Benzenepropanoic acid, 4-[[(3-hydroxy-2-naphthalenyl)carbonyl]amino]- (CA INDEX NAME)

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 21 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:430107 CAPLUS

DOCUMENT NUMBER: 129:113525

ORIGINAL REFERENCE NO.: 129:23203a,23206a

TITLE: Compounds and compositions for delivering active

agents

INVENTOR(S): Leone-Bay, Andrea; Wang, Eric; Sarubbi, Donald J.;

Leipold, Harry

PATENT ASSIGNEE(S): Emisphere Technologies, Inc., USA

SOURCE: U.S., 35 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 30

PATENT INFORMATION:

PA:	rent 1	NO.			KIN	D	DATE			APP	LIC	AT]	ON I			D.			
US CA CA CA	5773 2279 2319 2319	647 331 672 680			A A1 A1 A1		1998 1998 1998 1998	0813 0813 0813		CA CA CA	199 199 199	8-2 $8-2$ $8-2$	2279: 2319: 2319:	37 331 672 680		1' 1' 1'	9970 9980 9980 9980	207 206 206 206	<
WO	9834 W:	AL, DK, KZ, PL,	EE, LC, PT,	ES, LK, RO,	FI, LR,	AZ, GB, LS, SD,	1998 BA, GE, LT, SE,	BB, GW, LU,	BG, HU, LV,	BR ID MD	, B , I	Υ, L, G,	CA, IS, MK,	CH, JP, MN,	CN, KE, MW,	CU, KG, MX,	CZ, KP, NO,	DE, KR, NZ,	
	RW:	FR,	GB,	GR,	IE,	IT,	SD, LU, SN,	MC,	NL,	PT	, S	Ε,	BF,	ВJ,	CF,	CG,	CI,	CM,	
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EP EP	7387. 9938. 9938. 9938.	35 31 31 31			A2 A3 B1		1998 2001 2000 2001 2008	0927 0419 0502 0109		EP	199	9-1	L172	92		1	9980	206	<
	R:	AT, IE,		CH,	DE,	DK,	ES,	FR,	GB,	GR	, I'	Τ,	LI,	LU,	NL,	SE,	MC,	PT,	
EP	1015 R:	008	BE,				2000 ES,												
EP	1093 1093 1093	819 819			Α3		2001 2003 2006	0514		EP	200	0-1	L227	0 4		1	9980	206	<
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NZ AT PT	2001 3371 3249 1093 2263	31 07 819	80		A T T		2001 2001 2006 2006 2006	0831 0615 0929		NZ AT PT	199 200 200	8-3 $0-1$ $0-1$	3371: L227: L227:	31 04 04		1; 1; 1; 1;	9980 9980 9980	206 206 206	< <

AT 383169	T	20080115	AT	1999-117292		19980206	<
ES 2297909	Т3	20080501	ES	1999-117292		19980206 -	<
MX 9907290	A	20000531	MX	1999-7290		19990806	<
NZ 507275	A	20011130	NZ	2000-507275		20001003 -	<
NZ 507276	A	20020201	NZ	2000-507276		20001003 -	<
JP 2001131090	A	20010515	JP	2000-311231		20001011 -	<
JP 3964613	В2	20070822					
JP 2001139494	A	20010522	JP	2000-311230		20001011 -	<
JP 4012679	В2	20071121					
AU 771024	В2	20040311	AU	2000-72261		20001214 -	<
AU 771434	В2	20040325		2000-72260		20001214 -	<
HK 1037132	A1	20061103	HK	2001-107390		20011023 -	<
AU 2004202745	A1	20040923	AU	2004-202745		20040623 -	<
PRIORITY APPLN. INFO.:			US	1997-796334	A	19970207 -	<
			US	1997-796335	A	19970207	<
			US	1997-796336	A	19970207 -	<
			US	1997-796337	A	19970207 -	<
			US	1997-796338	A	19970207	<
			US	1997-796339	A	19970207 -	<
				1997-796340	А	19970207	<
			US	1997-796341	A	19970207	<
			US	1997-797100	A	19970207	
			US	1997-797813	А	19970207	<
			US	1997-797816	A	19970207 -	<
			US	1997-797817	A	19970207 -	<
			US	1997-797820	A	19970207	
				1998-62756		19980206	
			CA	1998-2279331	A3	19980206	<
			EP	1998-905042	A3	19980206 -	<
			EP	1999-117292	A3	19980206	<
			JP	1998-535034		19980206	
				1998-337131	A1	19980206	
				1998-US2619	W	19980206	
				2000-72260		20001214	
7 D C '	1		1 .	1		1 7 '	_

- AB Carrier compds. and compns. therewith which are useful in the delivery of active agents are provided. Methods of administration and preparation are provided as well. Standard methods of preparation are mentioned for the 193 carrier compds. listed, which primarily are N-(fatty acid) benzamide derivs. Examples are listed for the delivery of parathyroid hormone, recombinant human growth hormone, interferon and the evaluation of heparin in rats.
- IT 209961-45-5P 209961-83-1P 209961-85-3P
 RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of benzamide fatty acids for delivering active agents)
 RN 209961-45-5 CAPLUS
- CN Benzenebutanoic acid, 4-[[(1-hydroxy-2-naphthalenyl)carbonyl]amino]- (CA INDEX NAME)

RN 209961-83-1 CAPLUS

CN Benzenebutanoic acid, 4-[[(3-hydroxy-2-naphthalenyl)carbonyl]amino]- (CA INDEX NAME)

RN 209961-85-3 CAPLUS

CN Benzenepropanoic acid, 4-[[(3-hydroxy-2-naphthalenyl)carbonyl]amino]- (CA INDEX NAME)

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 22 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:527636 CAPLUS

DOCUMENT NUMBER: 127:152958

ORIGINAL REFERENCE NO.: 127:29485a, 29488a

TITLE: Modified amino acid carriers, their preparation, and

compositions containing them for delivering active

agents

INVENTOR(S): Leone-Bay, Andrea; Paton, Duncan R.; Ho, Koc-Kan;

DeMorin, Frenel

PATENT ASSIGNEE(S): Emisphere Technologies, Inc., USA

SOURCE: U.S., 22 pp., Cont.-in-part of U.S. Ser. No. 231,622.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 30

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
US 5643957	A 19970701	US 1994-335148	19941025 <
US 5451410	A 19950919	US 1993-51019	19930422
US 5792451	A 19980811	US 1994-205511	19940302
US 5629020	A 19970513	US 1994-231622	19940422
CA 2203033	A1 19960502	CA 1995-2203033	19951016 <
CA 2203033	C 20080729		
WO 9612473	A1 19960502	WO 1995-US13527	19951016 <
W: AL, AM, AT,	AU, BB, BG, BR,	BY, CA, CH, CN, CZ, DE,	DK, EE, ES,
· · · · · ·		KG, KP, KR, KZ, LK, LR,	· · · · · · · · · · · · · · · · · · ·
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SK, TJ	1111, 1111, 1111, 110,	112, 12, 11, 110, 110, 110, 110,	32, 33, 31,
RW: KE, MW, SD,	SZ, UG, AT, BE,	CH, DE, DK, ES, FR, GB,	GR, IE, IT,
LU, MC, NL,	PT, SE, BF, BJ,	CF, CG, CI, CM, GA, GN,	ML, MR, NE,
SN, TD, TG	, , , ,		
, ,	A 19960515	AU 1995-39633	19951016 <
AU 711887	B2 19991021		
EP 783299	A1 19970716		19951016 <
EP 783299	B1 20030910		

	R: AT,	BE, C	CH, DE,	DK, ES, FR,	GB, GI	R, IE, IT, LI,	LU, M		
BR	9510168		A	19971014	BR	1995-10168		19951016	<
HU	77759		A2	19980728	HU	1998-903		19951016	<
JP	10507762		T	19980728	JP	1996-514062		19951016	<
JP	4223547		В2	20090212					
AT	249422		T	20030915	AT	1995-937558		19951016	<
ES	2207655		Т3	20040601	ES	1995-937558		19951016	<
US	5955503		A	19990921	US	1997-795833		19970206	<
US	6100298		A	20000808	US	1997-795837		19970206	<
NO	9701889		A	19970623	NO	1997-1889		19970424	<
FI	9701776		A	19970425	FΙ	1997-1776		19970425	<
US	200100030	001	A1	20010607	US	2000-730156		20001205	<
AU	771024		В2	20040311	AU	2000-72261		20001214	<
AU	771434		В2	20040325	AU	2000-72260		20001214	<
US	200201200	009	A1	20020829	US	2002-90012		20020221	<
US	6663887		В2	20031216					
US	200400680	013	A1	20040408	US	2003-677906		20031001	<
AU	200420274	15	A1	20040923	AU	2004-202745		20040623	<
PRIORITY	APPLN.]	INFO.:	:		US	1993-51019	A2	19930422	<
					US	1994-205511	A2	19940302	<
					US	1994-231622	A2	19940422	<
					WO	1994-US4560	A2	19940422	<
					US	1994-335148	А	19941025	<
					WO	1995-US13527	W	19951016	<
					US	1997-795837	A1	19970206	<
					AU	1998-62756	A3	19980206	<
					US	1999-346970	A1	19990702	<
					US	2000-730156	A1	20001205	<
					AU	2000-72260	A3	20001214	<
					US	2002-90012	A1	20020221	<
				D	- ^				

OTHER SOURCE(S): MARPAT 127:152958 GI

AB Modified amino acid compds. useful in the delivery of active agents (peptides, carbohydrates, antigens, monoclonal antibodies, hormones, pesticides, etc.) are provided. Methods of administration and preparation are also provided. The effect of a composition containing e.g. interferon- $\alpha 2$ and e.g. I (preparation given) on the serum interferon level was determined IT 177653-21-3 178558-97-9

Ι

RL: AGR (Agricultural use); BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(modified amino acid carrier preparation and compns. containing them for delivering active agents)

RN 177653-21-3 CAPLUS

CN Benzenebutanoic acid, 4-[(2-naphthalenylcarbonyl)amino]- (CA INDEX NAME)

RN 178558-97-9 CAPLUS

CN Benzenebutanoic acid, 4-[[2-(6-methoxy-2-naphthalenyl)-1-oxopropyl]amino]- (CA INDEX NAME)

REFERENCE COUNT: 100 THERE ARE 100 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 23 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:87 CAPLUS

DOCUMENT NUMBER: 126:31174

ORIGINAL REFERENCE NO.: 126:6341a,6344a

TITLE: Preparation of modified amino acid compounds for

delivering active agents

INVENTOR(S): Leone-Bay, Andrea; Ho, Koc-Kan; Sarubbi, Donald J.;

Milstein, Sam J.; Press, Jeffery Bruce

PATENT ASSIGNEE(S): Emisphere Technologies, Inc., USA; Leone-Bay, Andrea;

Ho, Koc-Kan; Sarubbi, Donald, J.; Milstein, Sam, J.;

Press, Jeffery, Bruce

SOURCE: PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 30

PATENT INFORMATION:

PAT	TENT :	NO.			KIN		DATE			APPL	ICAT	ION 1	NO.		D.	ATE		
WO	9630	 036			A1				•	WO 1	 996-	 US45	80		1	9960-	401	<
	W:	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	EE,	
		ES,	FI,	GB,	GE,	HU,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LK,	LR,	LS,	LT,	
		LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NΖ,	PL,	PT,	RO,	RU,	SD,	SE,	
		SG,	SI															
	RW:	ΚE,	LS,	MW,	SD,	SZ,	UG,	ΑT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	
		ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ			
US	5650						1997									9950:	331	
CA	2214						1996			CA 1	996-	2214.	323		1	9960	401	<
CA	2214	323			С		2008	0729										
AU	9656	629			A		1996	1016	-	AU 1	996-	5662	9		1	9960	401	<
AU	7122	22			В2		1999	1104										
EP	8176	43			A1		1998	0114		EP 1	996-	9137	78		1	9960	401	<
EΡ	8176	43			В1		2007	0321										
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FI												
BR	9604	880			Α		1998	0519		BR 1	996-	4880			1	9960	401	<

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HU 9901162 A2 19990830 HU 1999-1162 19960401 <---
HU 9901162 A3 20000728

JP 2002506418 T 20020226 JP 1996-529751 19960401 <---
JP 3647041 B2 20050511

RU 2203268 C2 20030427 RU 1997-118224 19960401 <---
JP 2003313157 A 20031106 JP 2003-140962 19960401 <---
PL 188523 B1 20050228 PL 1996-322494 19960401 <---
AT 357243 T 20070415 AT 1996-913778 19960401 <---
EP 1792624 A1 20070606 EP 2007-4042 19960401 <---
EP 1792624 A1 20070606 EP 2007-4042 19960401 <---
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL,
                           PT, SE, AL, LT, LV, SI
 OTHER SOURCE(S): MARPAT 126:31174
```

GΙ

AB Modified amino acid compds. [I (n = 0-3; m = 0-4; X = H, halo, OH, etc.), II (n = 0-3; X = 2-F, 3-MeO, 4-Me, etc.), etc.], useful in the delivery of active agents such as, e.g., human growth hormone, interferon, heparin, calcitonin, parathyroid hormone, were prepared Thus, reaction of 8-aminocaprylic acid with 0-acetylsalicyloyl chloride in the presence of 2M aqueous NaOH afforded 57% III which was mixed with recombinant growth hormone (rhGH) in a phosphate buffer solution at pH 7-8 and administered orally to rats at 25 mg/kg of carrier and at 1 mg/kg of rhGH. The mean peak serum level of compound III was 60.92 ng/mL as compared to < 10 ng/mL for control.

IT 178558-97-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of modified amino acid compds. for delivering active agents)

RN 178558-97-9 CAPLUS

CN Benzenebutanoic acid, 4-[[2-(6-methoxy-2-naphthalenyl)-1-oxopropyl]amino]-(CA INDEX NAME)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 24 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:425385 CAPLUS

DOCUMENT NUMBER: 125:96071

ORIGINAL REFERENCE NO.: 125:17903a,17906a

TITLE: Modified amino acids as absorption enhancers for

delivering active agents

INVENTOR(S): Leone-Bay, Andrea; Paton, Duncan R.; Ho, Kok-Kan;

Demorin, Frenel

PATENT ASSIGNEE(S): Emisphere Technologies, Inc., USA

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 30

		ENT																	
		9612	473			A1		1996	0502		WO 1	995-	US13	527		-	19951	016	<
		w:	FI,	GB, MG,	GE,	HU,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LK,	LR,	LT,	EE, LU, SG,	LV,	
		R₩:	KE, LU,	MW,	NL,												IE, MR,		
		5643	957	·		А		1997	0701		US 1	994-	3351	48		-	19941	025	<
		2203							0502		CA 1	995-	2203	033		-	19951	016 -	<
	AU	2203 9539 7118	633			C A B2 A1		1996	0729 0515 1021	,	AU 1	995-	3963.	3		-	19951	016	<
	ΕP	7832 7832	99			A1 B1		1997	0716 0910		EP 1	995-	9375	58		-	19951	016	<
		R:					DK,			GB,	GR,	ΙE,	IT,	LI,	LU,	MC,	NL,	PT,	SE
	BR	9510	168			A		1997	1014		BR 1	995-	1016	8		-	19951	016	<
	JΡ	1050 4223	7762 547			T B2			0728 0212								19951		
	ΑT	2494	22			${ m T}$		2003	0915								19951		
	ΝО	9701	889			A		1997	0623								19970		
	FΙ	9701	776			А		1997	0425		FI 1	997-	1776			-	19970	425	<
	AU	7710	24			В2			0311		AU 2	000-	7226	1		2	20001	214 -	<
	AU	7714	34			В2		2004	0325		AU 2	000-	7226	0		2	20001 20001 20040	214 -	<
	AU	9701 9701 7710 7714 2004	2027	45		A1		2004	0923		AU 2	004-	2027	45		2	20040	623 -	<
RIOR	ΙΤΊ	Z APP	LN.	INFO	.:												19941		
																	19930		
																	19940		
																	19940		
																	19951		
											AU 1	998-	6275	6		A3 :	19980	206	<
			_														20001		
.B :	Moc	difie	d am	ino .	acid	COM	ods.	as	abso:	rpti	on e	nhan	cers	are	use	ful	in t	he	

AB Modified amino acid compds. as absorption enhancers are useful in the delivery of active agents. These compound are used as carriers to facilitate the delivery of a cargo to a target. Thus, 47.00~g acetylsalicyloyl chloride was added to a mixture of 50.00~g 4-(4-aminophenyl) butyric acid in 300~mL of 2M aqueous sodium hydroxide and the reaction was stirred at 25° for 2 h, then it was acidified with aqueous HCl to obtain a precipitate which was separated and washed to give 31.89~g 4-(2-hydroxyphenylcarbonylamino) p-phenylbutanoic acid (I). I was mixed with interferon $\alpha-2$ (II) in Tris-HCl buffer pH = 7-8 and was orally administered to rats at a rate of 300~mg I/kg and $1000~\mu\text{g}$ II/kg. The mean peak serum level of II was 8213~as compared to 688~ng/mL for controls.

IT 177653-21-3P 178558-97-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses) (modified amino acids as absorption enhancers for delivering active agents)

RN 177653-21-3 CAPLUS

CN Benzenebutanoic acid, 4-[(2-naphthalenylcarbonyl)amino]- (CA INDEX NAME)

RN 178558-97-9 CAPLUS

CN Benzenebutanoic acid, 4-[[2-(6-methoxy-2-naphthalenyl)-1-oxopropyl]amino]-(CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 25 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:365477 CAPLUS

DOCUMENT NUMBER: 125:58518 ORIGINAL REFERENCE NO.: 125:11257a

TITLE: Heterocyclic naphthalene amides having

leukotriene-antagonistic action

INVENTOR(S): Mauleon Casellas, David; Carganico, Germano; Fos

Torro, Maria De Los Desampa; Garcia Perex, Maria

Luisa; Palomer Benet, Albert

PATENT ASSIGNEE(S): Laboratorios Menarini S.A., Spain

SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 9604267	A1 19960215	WO 1995-EP2970	19950727 <
W: AM, AT, AU,	BB, BG, BR, BY,	CA, CH, CN, CZ, DE,	DK, EE, ES, FI,
GB, GE, HU,	IS, JP, KG, KP,	KR, KZ, LK, LR, LT,	LU, LV, MD, MG,
MN, MX, NO,	NZ, PL, PT, RO,	RU, SE, SG, SI, SK,	TJ, TM, TT, UA,
US, UZ			
RW: KE, MW, SD,	SZ, UG, AT, BE,	CH, DE, DK, ES, FR,	GB, GR, IE, IT,
LU, MC, NL,	PT, SE, BF, BJ,	CF, CG, CI, CM, GA,	GN, ML, MR, NE,
SN, TD, TG			
ES 2103181	A1 19970816	ES 1994-1696	19940801
ES 2103181	B1 19980401		
AU 9532539	A 19960304	AU 1995-32539	19950727 <
EP 775133	A1 19970528	EP 1995-929014	19950727 <
EP 775133	B1 20010530		

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
                          Т3
     ES 2156944
                                 20010801
                                             ES 1995-929014
                                                                     19950727 <--
     PT 775133
                                 20011030
                          Т
                                             PT 1995-929014
                                                                     19950727 <--
     GR 3036462
                          Т3
                                 20011130
                                             GR 2001-401313
                                                                     20010829 <--
PRIORITY APPLN. INFO.:
                                             ES 1994-1696
                                                                 A 19940801 <--
                                             WO 1995-EP2970
                                                                 W 19950727 <--
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OTHER SOURCE(S): MARPAT 125:58518

AB Naphthalene amides I [chain containing A is bound to the 6- or 7-position of the 2-naphthol system; R1 = H or Me; R2 = H, F, C1, or OMe, which is bound to the naphthalene system at any position except the 2- and the one occupied by the other substituent; R3 = H, F, C1, or Br; A = CONR4 or NR4CO; R4 = H or Me; B = 5-tetrazolyl or CO2R5; R5 = H, C1-4 alkyl, C<10 phenylalkyl; m = 0 or 1; n, p = 0-6; with the proviso that (n+p) \leq 6] and their solvates and pharmaceutically acceptable salts have leukotriene-antagonistic action. The compds. are useful in the treatment of a variety of allergic and inflammatory conditions. For example, demethylation and Me esterification of 2-(6-methoxy-2-naphthyl)propionic acid (70%), followed by etherification with 2-(chloromethyl)quinoline (80%), saponification (99%), and amidation with

4-(1H-5-tetrazolyl)benzylamine-HCl

RN

using EDC (65%), gave title compound II. In an assay for inhibition of LTD4-induced contraction of isolated guinea-pig ileum, II had IC50 of 13 nM. Prepns. of 33 compds. are given, plus results of two bioassays for selected compds.

IT 177735-77-2P 177735-83-0P 177735-85-2P 177735-87-4P 177735-90-9P 177735-93-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of heterocyclic naphthalene amides as leukotriene antagonists) 177735-77-2 CAPLUS

CN Benzenebutanoic acid, 4-[[1-oxo-2-[6-(2-quinolinylmethoxy)-2-naphthalenyl]propyl]amino]-, methyl ester (CA INDEX NAME)

RN 177735-83-0 CAPLUS

CN Benzeneacetic acid, 4-[[1-oxo-2-[6-(2-quinolinylmethoxy)-2-naphthalenyl]propyl]amino]-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} O \\ MeO-C-CH_2 \\ \hline O & Me \\ NH-C-CH \\ \hline \end{array}$$

RN 177735-85-2 CAPLUS

CN Benzeneacetic acid, 3-[[1-oxo-2-[6-(2-quinolinylmethoxy)-2-naphthalenyl]propyl]amino]-, methyl ester (CA INDEX NAME)

RN 177735-87-4 CAPLUS

CN Benzenebutanoic acid, 4-[[2-[6-[(7-chloro-2-quinolinyl)methoxy]-2-naphthalenyl]-1-oxopropyl]amino]-, methyl ester (CA INDEX NAME)

PAGE 1-A

Cl

RN 177735-90-9 CAPLUS

CN Benzenebutanoic acid, 4-[[[6-(2-quinolinylmethoxy)-2-naphthalenyl]carbonyl]amino]-, methyl ester (CA INDEX NAME)

RN 177735-93-2 CAPLUS

CN Benzenebutanoic acid, 4-[[[7-(2-quinolinylmethoxy)-2-naphthalenyl]carbonyl]amino]-, methyl ester (CA INDEX NAME)

IT 177735-78-3P 177735-84-1P 177735-86-3P 177735-88-5P 177735-91-0P 177735-94-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic naphthalene amides as leukotriene antagonists)

RN 177735-78-3 CAPLUS

CN Benzenebutanoic acid, 4-[[1-oxo-2-[6-(2-quinolinylmethoxy)-2-naphthalenyl]propyl]amino]- (CA INDEX NAME)

RN 177735-84-1 CAPLUS

CN Benzeneacetic acid, 4-[[1-oxo-2-[6-(2-quinolinylmethoxy)-2-naphthalenyl]propyl]amino]- (CA INDEX NAME)

RN 177735-86-3 CAPLUS

CN Benzeneacetic acid, 3-[[1-oxo-2-[6-(2-quinolinylmethoxy)-2-naphthalenyl]propyl]amino]- (CA INDEX NAME)

RN 177735-88-5 CAPLUS

CN Benzenebutanoic acid, 4-[[2-[6-[(7-chloro-2-quinoliny1)methoxy]-2-naphthaleny1]-1-oxopropy1]amino]- (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

Cl

RN 177735-91-0 CAPLUS

CN Benzenebutanoic acid, 4-[[[6-(2-quinolinylmethoxy)-2-naphthalenyl]carbonyl]amino]- (CA INDEX NAME)

RN 177735-94-3 CAPLUS

CN Benzenebutanoic acid, 4-[[[7-(2-quinolinylmethoxy)-2-

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 26 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:169303 CAPLUS

DOCUMENT NUMBER: 124:263652

ORIGINAL REFERENCE NO.: 124:48809a,48812a

TITLE: Water-based black recording liquids containing azo

dyes

INVENTOR(S): Sano, Hideo; Yamada, Masahiro; Nishimura, Tooru;

Takimoto, Hiroshi

PATENT ASSIGNEE(S): Mitsubishi Kagaku KK, Japan SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07331145	A	19951219	JP 1994-125100	19940607 <
JP 3371542	B2	20030127		
PRIORITY APPLN. INFO.:			JP 1994-125100	19940607 <
OTHER SOURCE(S):	MARPAT	124:263652		
GI				

Ι

AB Title liqs., useful for ink-jet printing black inks, etc., contain aqueous medium and ≥1 I-type azo dye and ≥1 II-type azo dyes [as free acids; A, C = (substituted) Ph, (substituted) naphthyl; B, D = (substituted) phenylene, (substituted) naphthylene; R1-5 = H, C1-18 alkyl, C1-18 alkenyl, aryl, aralkyl, cycloalkyl, heterocycle; which may be

substituted; ≥ 1 R1-4 are carboxyl-substituted; n = 0-1]. The liqs. may comprise water 35-93, water-soluble organic solvents 5-50, and the dyes 2-8%.

IT 175466-40-7

RL: TEM (Technical or engineered material use); USES (Uses) (black water-based jet printing inks containing disazo dyes)

RN 175466-40-7 CAPLUS

CN Benzenepropanoic acid, 4-[[7-[2-[4-[2-(3-fluorophenyl)diazenyl]-7-sulfo-1-naphthalenyl]diazenyl]-8-hydroxy-6-sulfo-2-naphthalenyl]amino]- (CA INDEX NAME)

L10 ANSWER 27 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:304927 CAPLUS

DOCUMENT NUMBER: 122:82085

ORIGINAL REFERENCE NO.: 122:15619a, 15622a

TITLE: Preparation of acyclic peptides as cardiovascular

agents (natriuretics).

INVENTOR(S): Voges, Klaus Peter; Henning, Rolf; Huebsch, Walter;

Lenfers, Jan Bernd; Beuck, Martin; Theiss, Gudrun; Stasch, Johannes Peter; Hirth-Dietrich, Claudia

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Ger. Offen., 73 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
MW, NO, NZ, RW: AT, BE, CH,	A1 A1 BR, BY, PL, RO, DE, DK,	19940707 CA, CZ, FI RU, SD, SK ES, FR, GB	DE 1992-4242946 CA 1993-2151961 WO 1993-EP3431 HU, JP, KP, KR, UA, US, VN GR, IE, IT, LU, ML, MR, NE, SN,	MC, NL, PT, SE,

AU 9456970 19940719 AU 1994-56970 19931206 <--Α 19931206 <--A1 19951004 EP 1994-902694 EP 674655 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE DE 1992-4242946 A 19921218 <--PRIORITY APPLN. INFO.: WO 1993-EP3431 W 19931206 <--

MARPAT 122:82085 OTHER SOURCE(S):

GΙ

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB R1COABDEGR2 [A = bond, Q1, Q2, Q3; a, b, d, f = 1,2; e = 0-2; R3, R10, R26 = H, alkyl, protecting group; R4, R5, R11, R12, R27, R28 = H, Me, etc.; R4R5, R11R12 = atoms to form a 5-6 membered carbocycle; B = Q4, Q5, Q6, etc.; j = 0-4; g = 1-3; R9 = H, protecting group; D, E, G = B, Q7; R1 =alkyl, pyridyl, quinolyl, etc.; R2 = Q8; k, l = 0-2; R29, R30 = H, protecting group, (substituted) alkyl], were prepared as natriuretics (no data). Thus, title compound (I) was prepared on Tentagel-S-NH2 resin using FMOC-protected amino acids.
- ΙT 160346-05-4P 160346-06-5P
 - RL: SPN (Synthetic preparation); PREP (Preparation)
 - (preparation of, as intermediate for acyclic peptide cardiovascular agent)
- 160346-05-4 CAPLUS RN
- Benzeneacetic acid, 4-[(2-naphthalenylcarbonyl)amino]-, ethyl ester (CA CN INDEX NAME)

RN 160346-06-5 CAPLUS

CN Benzeneacetic acid, 4-[(2-naphthalenylcarbonyl)amino]- (CA INDEX NAME)

L10 ANSWER 28 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:298479 CAPLUS

DOCUMENT NUMBER: 120:298479

ORIGINAL REFERENCE NO.: 120:52601a,52604a

Pyridyl-derivative thromboxane antagonists TITLE:

INVENTOR(S): Soyka, Rainer; Eisert, Wolfgang; Mueller, Thomas;

Weisenberger, Johannes

PATENT ASSIGNEE(S): Dr. Karl Thomae GmbH, Germany

SOURCE: U.S., 19 pp. Cont.-in-part of U.S. Ser. No. 796,525,

abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO		KIND	DATE	APPLICATION NO		DATE	
US 5286736	õ	A	19940215	US 1993-5725		19930119	<
DE 4037112	2	A1	19920527	DE 1990-403711	. 2	19901122	
PRIORITY APPLN	. INFO.:			DE 1990-403711	.2 A	19901122	<
				US 1991-796525	B2	19911122	<

OTHER SOURCE(S): MARPAT 120:298479

GΙ

AB The title compds. (I; A = direct bond, C3-4 cycloalkylene, C3-4 cycloalkylidine, (un)substituted C2-3 alkylene, OCH2CH2, etc.; R1 = (un)substituted C1-4 alkyl, C5-7 cycloalkyl, Ph; R2 = H, C1-4 alkyl; R3 = pyridyl; R4, R5 = H, or together may represent a C-C bond; R6 = H0, C1-3 alkoxyl; X = C0, CS; n = 2-4), useful as thromboxane antagonists, antiallergic agents (no data), etc., are prepared and I-containing formulations presented. Thus, 6-[4-(4-methylbenzenesulfonylamino)phenyl]-6-(3-pyridyl)-5-hexenoic acid was prepared in 53% yield by the condensation of 4-methylbenzenesulfonyl chloride with Me

6-(4-aminopheny1)-6-(3-pyridy1)-5-hexenoate followed by saponification.

IT 142669-03-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as thromboxane antagonist)

RN 142669-03-2 CAPLUS

CN 5-Hexenoic acid, 6-[3-[(2-naphthalenylcarbonyl)amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 29 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:147306 CAPLUS

DOCUMENT NUMBER: 118:147306

ORIGINAL REFERENCE NO.: 118:25323a,25326a

TITLE: Preparation of lpha-oxobenzeneacetic acids and

related compounds as antiischemics and antiarrhythmics

INVENTOR(S): Guthrie, Robert William; Heathers, Guy Phillip;

Higgins, Alan John; Kachensky, David Francis;

Kierstead, Richard Wightmann; LeMahieu, Ronald Andrew; Mullin, John Guilfoyle, Jr.; Tilley, Jefferson Wright

PATENT ASSIGNEE(S): Hoffmann-La Roche, F., AG, Switz.

SOURCE: Eur. Pat. Appl., 166 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 512352	A2	19921111	EP 1992-107135	19920427 <
EP 512352	А3	19930310		
EP 512352	B1	19960327		
R: AT, BE, CH,	DE, DK	, ES, FR, GB	, GR, IT, LI, LU, MG	C, NL, PT, SE
US 5344843	A	19940906	US 1992-850620	19920313 <
PRIORITY APPLN. INFO.:			US 1991-698014	A 19910509 <
			US 1992-850620	A 19920313 <
OTHER SOURCE(S):	MARPAT	118:147306		

GΙ

AΒ Title compds. I [R1 = OH, OR3, NR4R5; 1 of R4, R5 = H, C1-7 (hydroxy)alkyl]and the other = H, OH, C1-7 alkyl, C1-7 alkoxy; R3 = (CH2CH2O)mH, CH2CHOHCH2OH, 2,2-dimethyl-1,3-dioxolan-4-yl, CH2CH2NH2, etc.; m = 1-4; R2, R2' = H, C1-7 alkyl, aryl-C1-7 alkyl, C1-7 alkoxy, OH, NH2, C1-7 alkylamino, cyano, halo, SH, etc.; A = bond, O, NR7, S, SO, SO2, C.tplbond.C, CH:CH, CH2CH, NR8CO, CONR9; R7 = H, C1-7 alkyl, acyl; R8, R9 = H, C1-7 alkyl; n = 0-10; B = bond, groups defined for A, CO, CS, (OCH2CH2) mO, etc.; Z = O, S, CR2:CR2', N:CR2, CR2:N, NR11; R11 = H, C1-7 alkyl; XY = O, S, :NOH, alkoxyimino, alkenyloxyimino, hydrazono, etc., or individually 1 of X and Y = halo and the other = H, halo, C1-7 alkyl, aryl-C1-7 alkyl; other possibilities for X and Y; Q = cycloalkyl, aryl, heterocyclyl; with provisos] were prepared as drugs to prevent injury to ischemic tissue and arrhythmias during and after a myocardial infarction. Thus, Me 4-hydroxy- α -oxobenzeneacetate in DMF containing NaH was O-alkylated by Ph(CH2)3Br and the resultant product was hydrolyzed by NaOH in MeOH to give title compound II. II had IC50 of 0.5 μM against carnitine acyltransferase 1 in mitochondria. Over 200 I were prepared Capsules containing I were also prepared

IT 145796-37-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as antiischemic and antiarrhythmic)

RN 145796-37-8 CAPLUS

CN Benzeneacetic acid, 4-[[2-(2-naphthalenyl)ethyl]amino]- α -oxo- (CA INDEX NAME)

L10 ANSWER 30 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1992:633858 CAPLUS

DOCUMENT NUMBER: 117:233858

ORIGINAL REFERENCE NO.: 117:40439a,40442a TITLE: Preparation of

 ω -pyridyl- ω -[(acylamino)phenyl]alkenoates

as thromboxane antagonists and biosynthesis inhibitors

INVENTOR(S): Soyka, Rainer; Eisert, Wolfgang; Mueller, Thomas;

Weisenberger, Johannes

PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany

SOURCE: Eur. Pat. Appl., 46 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATEN	NT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 48 EP 48		A1 B1	19920527 19960228	EP 1991-119889	19911121 <
F	R: AT, BE, CH,	DE, DK,	ES, FR, G	B, GR, IT, LI, LU, NL	, SE
DE 40	037112	A1	19920527	DE 1990-4037112	19901122
AU 91	187964	A	19920528	AU 1991-87964	19911119 <
AU 64	40063	B2	19930812		
IL 10	00097	A	19950731	IL 1991-100097	19911120 <
CA 20)55950	A1	19920523	CA 1991-2055950	19911121 <
FI 91	105484	A	19920523	FI 1991-5484	19911121 <
NO 91	104567	A	19920525	NO 1991-4567	19911121 <
NO 17	75634	В	19940801		
NO 17	75634	С	19941109		
HU 60	0472	A2	19920928	HU 1991-3644	19911121 <
HU 21	13676	В	19970929		
JP 04	4275273	A	19920930	JP 1991-305990	19911121 <
ZA 91	109205	A	19930521	ZA 1991-9205	19911121 <
RU 20	028292	C1	19950209	RU 1991-5010111	19911121 <
AT 13	34619	T	19960315	AT 1991-119889	19911121 <
ES 20	084756	T3	19960516	ES 1991-119889	19911121 <
PRIORITY A	APPLN. INFO.:			DE 1990-4037112	A 19901122 <
GI					

Me SO₂NH C (CH₂)
$$_3$$
CO₂H II

AB Title compds. [I; n = 2-4; X = CO, CS, SO2; R1 = (phenyl)alkyl, cycloalkyl, naphthyl, biphenyl, indolyl, thienyl, (substituted) Ph, etc.,

R2 = H, alkyl; R3 = pyridyl; R4, R5 = H; R4, R5 = bond; R6 = OH, alkoxy; A = bond, alkylene, cycloalkylene, cycloalkylidene, oxyalkylene, etc.], were prepared Thus, Me 6-(4-aminophenyl)-6-(3-pyridyl)hex-5-enoate (preparation starting from nicotinoyl chloride hydrochloride and N-acetylaniline given) was stirred with 4-MeC6H4COCl and Et3N in CH2Cl2 to give the sulfonamide, which was heated with 10 N NaOH in Et0H at 50° to give title compound II. I inhibited human thromboxane synthetase with IC50 = 0.004-0.090 μ M. Various dosage forms were prepared containing (-)-5E-6-[4-(Z-2-(4-chlorophenyl)cyclopropyl-1-carboxamido)phenyl]-6-(3-pyridyl)hex-5-enoic acid.

IT 142669-03-2P

RN

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as thromboxane antagonist and synthesis inhibitor) 142669-03-2 CAPLUS

CN 5-Hexenoic acid, 6-[3-[(2-naphthalenylcarbonyl)amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

L10 ANSWER 31 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1981:183366 CAPLUS

DOCUMENT NUMBER: 94:183366

ORIGINAL REFERENCE NO.: 94:29883a,29886a

TITLE: Hydrophilic color coupler composition containing

diepoxide

INVENTOR(S):
Viro, Felix; Emmi, Salvatore

PATENT ASSIGNEE(S): GAF Corp., USA

SOURCE: U.S., 4 pp. Cont.-in-part of U.S. 3,989,529.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PAT	TENT NO.	KIND	DATE	APPLICATION NO.	DATE
	4252894	7	10010004	HC 1075 (24022	19751022 <
		A	19810224	US 1975-624923	
US	3989529	A	19761102	US 1974-518798	19741029
CA	1061155	A1	19790828	CA 1975-233859	19750821 <
BE	833601	A1	19760319	BE 1975-160186	19750919 <
JP	51067132	A	19760610	JP 1975-130282	19751029 <
BE	846714	A1	19770329	BE 1976-171050	19760929 <
FR	2328987	A1	19770520	FR 1976-29790	19761004 <
FR	2328987	B1	19790112		
JP	52051938	A	19770426	JP 1976-125579	19761021 <
DE	2647487	A1	19770428	DE 1976-2647487	19761021 <
PRIORITY	Y APPLN. INFO.:			US 1974-518798	A2 19741029 <
				US 1975-624923	A 19751022 <

GI For diagram(s), see printed CA Issue.

AB Hydrophilic coupler-oil solns. are stabilized by the addition of ethylene glycol diglycidyl ether or a diepoxide (low mol weight polymer, 170-400 mol weight). Thus, coupler I 5 g was dissolved in a solution containing di-Bu phthalate

4, MeOH 3, and Eponite 100 4 mL, dispersed in an aqueous solution of gelatin 1 $\,$

in H2O 45 and Alkanol B (10% aqueous solution Na alkylnaphthalenesulfonate) 3

mL,

and added with mixing to a Ag (I,Br) emulsion 100 g to give a coatable photog. emulsion.

IT 28341-83-5

RL: USES (Uses)

(stabilization of di-Bu phthalate solution containing, diepoxide in, for dispersion in photog. emulsion)

RN 28341-83-5 CAPLUS

CN Benzenepropanoic acid, 3-[[(1-hydroxy-4-sulfo-2-naphthalenyl)carbonyl]amino]-4-(methyltetradecylamino)- (CA INDEX NAME)

L10 ANSWER 32 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1977:484684 CAPLUS

DOCUMENT NUMBER: 87:84684

ORIGINAL REFERENCE NO.: 87:13455a,13458a

TITLE: 3-Substituted aminophenylacetic acid derivatives INVENTOR(S): Kobayashi, Toshihiko; Hiranuma, Hidetoshi; Onoya,

Masatoshi

PATENT ASSIGNEE(S): Mitsubishi Yuka Yakuhin Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				_	
JP 51149240	A	19761222	JP 1975-72635		19750617 <
PRIORITY APPLN. INFO.:			JP 1975-72635	Α	19750617 <
CT					

AB Title acids I (R = includes MeCOCH2CO, substituted benzoyl, 4-MeC6H4SO3, α -naphthyl, cinnamoyl, PhNHCO; R1 = Me, allyl, HC.tplbond.CCH2), their alkyl esters, and salts were prepared by acylation, ureidation, or

sulfonylation of 3-aminophenylacetic acid derivs. I (R = H), their alkyl esters, or salts. I had antiinflammatory activity (data given in carrageenin edema tests in rats). Thus, reduction of 3,4-O2N(MeO)C6H3CH2CO2H gave 3,4-H2N(MeO)C6H3CH2CO2H, which was stirred with (EtCO)2O to precipitate

77%

I (R = EtCO, R1 = Me). Among 47 addnl. I prepared were (R, R1 given): HO2C(CH2)3Me; 4-ClC6H4OCH2CHMe; 3,4-Cl(CH2:CHCH2O)C6H4CH2COMe, and cyclohexylcarbonyl Me.

IT 63305-22-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and antiinflammatory activity of)

RN 63305-22-6 CAPLUS

CN Benzeneacetic acid, 4-methoxy-3-[(2-naphthalenylcarbonyl)amino]- (CA INDEX NAME)

L10 ANSWER 33 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1977:460757 CAPLUS

DOCUMENT NUMBER: 87:60757

ORIGINAL REFERENCE NO.: 87:9569a,9572a

TITLE: Dispersing hydrophilic color coupler

INVENTOR(S): Viro, Felix; Emmi, Salvatore

PATENT ASSIGNEE(S): GAF Corp., USA SOURCE: Ger. Offen., 18 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
					_	
	DE 2647487	A1	19770428	DE 1976-2647487		19761021 <
	US 4252894	A	19810224	US 1975-624923		19751022 <
PRIO	RITY APPLN. INFO.:			US 1975-624923	Α	19751022 <
				US 1974-518798	Α2	19741029 <

GΙ

AΒ

A method for dispersing hydrophilic color couplers in aqueous gelatin Ag

halide emulsions using an epoxide compound is described. Thus, 4 g coupler I was dissolved in a warm solution containing 3 mL dibutyl phthalate, 3 mL Eponite 100 (II) and 3 mL MeOH. After cooling the solution remained clear. I precipitated upon cooling from a similar solution not containing II.

IT 28341-83-5

RL: USES (Uses)

(dispersing of color coupler of, in photog. silver halide emulsions, epoxide compds. for)

RN 28341-83-5 CAPLUS

CN Benzenepropanoic acid, 3-[[(1-hydroxy-4-sulfo-2-naphthalenyl)carbonyl]amino]-4-(methyltetradecylamino)- (CA INDEX NAME)

L10 ANSWER 34 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1970:436606 CAPLUS

DOCUMENT NUMBER: 73:36606
ORIGINAL REFERENCE NO.: 73:6055a,6058a

TITLE: 1-Hydroxy-2-naphthanilides as photographic color

couplers

INVENTOR(S): Altavilla, Alex P.; Hoffstadt, Walter F.; Rauch, Emil

PATENT ASSIGNEE(S): GAF Corp.

SOURCE: Ger. Offen., 41 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
DE 1939301	A	19700212	DE 1969-1939301		19690801 <
US 3622337	A	19711123	US 1968-749650		19680802
FR 2016852	A5	19700515	FR 1969-25255		19690724 <
FR 2016852	B1	19741004			
GB 1282486	A	19720719	GB 1969-1282486		19690729 <
ES 370111	A1	19710801	ES 1969-370111		19690731 <
BE 736937	A	19700116	BE 1969-736937		19690801 <
PRIORITY APPLN.	INFO.:		US 1968-749650	A	19680802 <

GI For diagram(s), see printed CA Issue.

AB I are prepared 4,3-C1(O2N)C6H3CH:CHCO2H was condensed with MeNHC14H29, reduced (Na2S2O4), condensed with 1,2-HOC10H6CO2Ph, and hydrogenated (PtO2) to give I [R = R2 = H, R1 = NMeC14H29(Q), R3 = CH2CH2CO2H(Q1)]. Also prepared were I (R-R3 given): SO3H, Q, H, Q1; Br, Q, H, CH2CHBrCO2H; H, H, Q, Q1; H, C14H29O, H, CH2CH(CO2H)C6H4CO2H-4; H, C15H31, OCH2CO2H, H; H, H, O(CH2)nCON(C18H37)C6H3(CO2H)2-3,5 (Q2, n = 3), H; H, Q2(n = 1), H, H; H, H, Q2(n = 1), H; H, C14H29O, H, Q1.

IT 28341-47-1P 28341-62-0P 28341-80-2P 28341-82-4P 28341-83-5P 28341-84-6P 28341-85-7P 28382-81-2P

RN 28341-47-1 CAPLUS

CN Benzeneacetic acid, 4-carboxy- α -[[3-[[(1-hydroxy-2-naphthalenyl)carbonyl]amino]-4-(tetradecyloxy)phenyl]methylene]- (CA INDEX NAME)

RN 28341-62-0 CAPLUS

CN Benzenepropanoic acid, 3-[[(1-hydroxy-2-naphthalenyl)carbonyl]amino]-4-(tetradecyloxy)- (CA INDEX NAME)

RN 28341-80-2 CAPLUS

CN Benzenepropanoic acid, 3-[[(1-hydroxy-2-naphthalenyl)carbonyl]amino]-4-(methyltetradecylamino)- (CA INDEX NAME)

RN 28341-82-4 CAPLUS

CN 2-Propenoic acid, 3-[3-[[(1-hydroxy-2-naphthalenyl)carbonyl]amino]-4-(methyltetradecylamino)phenyl]- (CA INDEX NAME)

RN 28341-83-5 CAPLUS

CN Benzenepropanoic acid, 3-[[(1-hydroxy-4-sulfo-2-naphthalenyl)carbonyl]amino]-4-(methyltetradecylamino)- (CA INDEX NAME)

RN 28341-84-6 CAPLUS

CN Benzenepropanoic acid, α -bromo-3-[[(4-bromo-1-hydroxy-2-naphthalenyl)carbonyl]amino]-4-(methyltetradecylamino)- (CA INDEX NAME)

RN 28341-85-7 CAPLUS

CN Benzenepropanoic acid, 5-[[(1-hydroxy-2-naphthalenyl)carbonyl]amino]-2-(methyltetradecylamino)- (CA INDEX NAME)

RN 28382-81-2 CAPLUS

CN Benzenepropanoic acid, α -(4-carboxyphenyl)-3-[[(1-hydroxy-2-naphthalenyl)carbonyl]amino]-4-(tetradecyloxy)- (CA INDEX NAME)

L10 ANSWER 35 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1964:447706 CAPLUS

DOCUMENT NUMBER: 61:47706
ORIGINAL REFERENCE NO.: 61:8250h,8251a

TITLE: Derivatives of 2-arylamino-1,4-naphthoquinone

INVENTOR(S): Vinograd, L. Kh.

SOURCE From: Byul. Izobret. i Tovar-nykh Znakov 1964(9), 27..

DOCUMENT TYPE: Patent LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DDIO	SU 162156		19640416	SU	19630611 <
_	RITY APPLN. INFO.:			SU	19630611 <
AB	The title compds. a	are prep	pared by the	reaction of 1,4dihydrox	ynaphthalene
	(I) with aromatic n	itroso	compds. E.g.	, 1.6 g. I in 10 ml. Et	OH boiled 1
	hr. with 1.23 g. ni	trosoph	nenol in 12 m	ıl. EtOH yields 94%	
	_	_		quinone, m. 256-8° (EtOH	I).
ΙT	95426-03-2P, Hydroc	innamic	acid,		
	p-[(1,4-dihydro-1,4	-dioxo-	-2-naphthyl)a	mino]-, methyl ester	
	RL: PREP (Preparati	on)			
	(preparation of)				
RN	95426-03-2 CAPLUS				
CN	Benzenepropanoic ac	eid, 4-[(1,4-dihydro	-1,4-dioxo-2-naphthalen	ıyl)amino]-,

$$\begin{array}{c|c} \mathsf{O} \\ \\ \mathsf{CH}_2-\mathsf{CH}_2-\mathsf{C}-\mathsf{OMe} \end{array}$$

methyl ester (CA INDEX NAME)

L10 ANSWER 36 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1964:447705 CAPLUS

DOCUMENT NUMBER: 61:47705
ORIGINAL REFERENCE NO.: 61:8250e-h

TITLE: 1-[3-Chloro-4-(2-diethylaminoethoxy)phenyl] indan

INVENTOR(S): Huebner, Charles F.; Bencze, William L.

PATENT ASSIGNEE(S): CIBA Ltd.
SOURCE: 19 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR M2350		19640323	FR	<
PRIORITY APPLN. INFO.:			US	19611218 <

GI For diagram(s), see printed CA Issue.

AB The title compound (I) is a fungicide effective against dermatophytes. I was active in vitro against Trichophyton mentagrophytes, T. interdigitale, T. gallinae, Microsoprum audouini, M. canis, M. gypseum, and Sporotrichum schenkii. Solns., suspensions, emulsions, creams, or ointments containing 1-2% I or its salts were described for topical application. A 3% cream caused skin irritation for the guinea pig but not for humans. To 4.6 g. Na in 200 ml. EtOH was added 42 g. 1-(4hydroxyphenyl)indan (II), the mixture refluxed 2 hrs., and the solvent evaporated to give the Na salt (III) of II. III was dissolved in 150 ml. CS2 and 1 mole Cl was dissolved in the solution After the reaction subsided, the inorg. precipitate was filtered off, the CS2 evaporated, the residue diluted with H2O and extracted with Et2O.

Distillation gave

1(3-chloro-4-hydroxyphenyl)indan (IV), b0.5 160-3° and a little 1-(3,5-dichloro-4-hydroxyphenyl)indan, b0.5 175°. A solution of 7 g. IV in xylene was added dropwise to 1.67 g. NaH in 50 ml. xylene, the mixture refluxed 3 hrs., 3.8 g. 1-chloro-2-diethylaminoethane in xylene added and refluxing continued overnight. The solution was cooled, acidified with 15% HCl, NH4OH added, the aqueous phase extracted with Et2O, and the Et2O, dried with

MgSO4 and evaporated The residue was treated with HCl MeOH to give I.HCl, m. $147-9^{\circ}$.

RN 95426-03-2 CAPLUS

CN Benzenepropanoic acid, 4-[(1,4-dihydro-1,4-dioxo-2-naphthalenyl)amino]-, methyl ester (CA INDEX NAME)

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